

=> d his

(FILE 'HOME' ENTERED AT 15:59:07 ON 31 JAN 2008)

FILE 'HCAPLUS' ENTERED AT 15:59:18 ON 31 JAN 2008  
E US20060149052/PN 25  
L1 2 S E3  
S 72065-24-8/REG# OR 136526-29-9/REG# OR 482333-73-3/REG# OR

FILE 'REGISTRY' ENTERED AT 16:02:01 ON 31 JAN 2008  
L2 1 S 704907-41-5/RN

FILE 'HCAPLUS' ENTERED AT 16:02:01 ON 31 JAN 2008  
L3 1 S L2

FILE 'REGISTRY' ENTERED AT 16:02:02 ON 31 JAN 2008  
L4 1 S 223611-40-3/RN

FILE 'HCAPLUS' ENTERED AT 16:02:02 ON 31 JAN 2008  
L5 4 S L4

FILE 'REGISTRY' ENTERED AT 16:02:03 ON 31 JAN 2008  
L6 1 S 125274-16-0/RN

FILE 'HCAPLUS' ENTERED AT 16:02:03 ON 31 JAN 2008  
L7 18 S L6

FILE 'REGISTRY' ENTERED AT 16:02:04 ON 31 JAN 2008  
L8 1 S 95298-46-7/RN

FILE 'HCAPLUS' ENTERED AT 16:02:04 ON 31 JAN 2008  
L9 4 S L8

FILE 'REGISTRY' ENTERED AT 16:02:05 ON 31 JAN 2008  
L10 1 S 74405-42-8/RN

FILE 'HCAPLUS' ENTERED AT 16:02:05 ON 31 JAN 2008  
L11 38 S L10

FILE 'REGISTRY' ENTERED AT 16:02:06 ON 31 JAN 2008  
L12 1 S 74405-42-8/RN

FILE 'HCAPLUS' ENTERED AT 16:02:06 ON 31 JAN 2008  
L13 38 S L12

FILE 'REGISTRY' ENTERED AT 16:02:07 ON 31 JAN 2008  
L14 1 S 482333-74-4/RN

FILE 'HCAPLUS' ENTERED AT 16:02:07 ON 31 JAN 2008  
L15 4 S L14

FILE 'REGISTRY' ENTERED AT 16:02:08 ON 31 JAN 2008  
L16 1 S 482333-73-3/RN

FILE 'HCAPLUS' ENTERED AT 16:02:08 ON 31 JAN 2008  
L17 2 S L16

FILE 'REGISTRY' ENTERED AT 16:02:09 ON 31 JAN 2008  
L18 1 S 136526-29-9/RN

FILE 'HCAPLUS' ENTERED AT 16:02:09 ON 31 JAN 2008  
L19 6 S L18

FILE 'REGISTRY' ENTERED AT 16:02:10 ON 31 JAN 2008  
L20 1 S 72065-24-8/RN

FILE 'HCAPLUS' ENTERED AT 16:02:11 ON 31 JAN 2008  
L21 16 S L20  
L22 78 S L21 OR L19 OR L17 OR L15 OR L13 OR L11 OR L9 OR L7 OR L5 OR L

FILE 'REGISTRY' ENTERED AT 16:02:22 ON 31 JAN 2008  
L23 16 S 704907-42-6 OR 704907-44-8 OR 705292-58-6 OR 76-83-5 OR 100-4  
L24 9 S 72065-24-8 OR 136526-29-9 OR 482333-73-3 OR 482333-74-4 OR 74  
L25 25 S L23 OR L24

FILE 'HCAPLUS' ENTERED AT 16:02:37 ON 31 JAN 2008  
L26 233313 S L25  
L27 2 S L1 AND L26

FILE 'STNGUIDE' ENTERED AT 16:03:09 ON 31 JAN 2008

FILE 'REGISTRY' ENTERED AT 16:06:24 ON 31 JAN 2008  
L28 STRUCTURE UPLOADED  
L29 50 S L28 SSS SAM  
L30 16211 S L28 SSS FULL

FILE 'HCAPLUS' ENTERED AT 16:07:52 ON 31 JAN 2008  
L31 10412 S L30  
L32 120133 S SOLID PHASE  
L33 36 S L31 AND L32  
L34 1 S L33 AND PHOSPHORAMIDITE

FILE 'STNGUIDE' ENTERED AT 16:08:32 ON 31 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:08:51 ON 31 JAN 2008  
L35 35 S L33 NOT L34

FILE 'STNGUIDE' ENTERED AT 16:09:25 ON 31 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:16:46 ON 31 JAN 2008

FILE 'STNGUIDE' ENTERED AT 16:17:13 ON 31 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:18:30 ON 31 JAN 2008  
E MCCORMAC PAUL/AU 25  
L36 14 S (E1 OR E2 OR E3 OR E4)  
L37 1 S L36 AND L31

FILE 'STNGUIDE' ENTERED AT 16:19:17 ON 31 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:20:02 ON 31 JAN 2008

FILE 'STNGUIDE' ENTERED AT 16:20:03 ON 31 JAN 2008

FILE 'STNGUIDE' ENTERED AT 16:20:05 ON 31 JAN 2008

FILE CONTAINS CURRENT INFORMATION.  
 LAST RELOADED: Jan 25, 2008 (20080125/UP).

=> fil hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.72	355.06
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-31.20

FILE 'HCAPLUS' ENTERED AT 16:16:46 ON 31 JAN 2008  
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FILE COVERS 1907 - 31 Jan 2008 VOL 148 ISS 5  
 FILE LAST UPDATED: 30 Jan 2008 (20080130/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l35 3 10 23 25 ibib abs hitstr

L35 ANSWER 3 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1063150 HCAPLUS  
 DOCUMENT NUMBER: 145:397801  
 TITLE: Novel peptides useful for treatment of alopecia  
 INVENTOR(S): Singh, Anu T.; Prasad, Sudhanand; Datta, Kakali; Ahuja, Rinku; Mukherjee, Rama; Burman, Anand C.  
 PATENT ASSIGNEE(S): Dabur Pharma Limited, India  
 SOURCE: PCT Int. Appl., 70pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006106528	A1	20061012	WO 2005-IN453	20051230
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,			

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

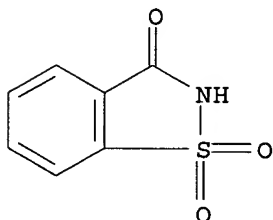
IN 2005DE00898 A 20070112 IN 2005-DE898 20050407  
PRIORITY APPLN. INFO.: IN 2005-DE898 A 20050407  
OTHER SOURCE(S): MARPAT 145:397801

AB The invention provides novel peptides Z-NHCR1R2CO-X [X is Arg, His, Lys, Orn, or Gly; R1, R2 are alkyl or R1R2C is a C3-C8 carbocycle; Z is Arg, His, Orn, or Lys; Z is H or a protective group] and their pharmaceutically-acceptable salts and a method of in vitro or in vivo bioassay of the peptides for promotion and stimulation of hair growth and therefore their usefulness for treatment of alopecia. Methods of synthesis of the novel peptides and pharmaceutical compns. for promotion and stimulation of hair growth are described. Thus, H-His-NHMe2CO-Gly-OH was prepared by the solid-phase method and shown to promote hair follicle growth at a concentration of 100 nM.

IT 81-07-2, Saccharin  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(claimed sweetener; peptides useful for treatment of alopecia)

RN 81-07-2 HCAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 10 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:810249 HCAPLUS

DOCUMENT NUMBER: 140:41840

TITLE: Tablets of functionalized polystyrene beads alone and in combination with solid reagents or catalysts. preparation and applications in parallel solution and solid phase synthesis

AUTHOR(S): Ruhland, Thomas; Holm, Per; Andersen, Kim

CORPORATE SOURCE: Department of Medicinal Chemistry II, Medicinal Chemistry Research, H. Lundbeck A/S, Valby, DK 2500, Den.

SOURCE: Journal of Combinatorial Chemistry (2003), 5(6), 842-850

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:41840

AB Pretreatment of polystyrene beads with a nonpolar organic solvent is the key for the generation of mech. robust tablets consisting of neat functionalized polystyrene beads, both alone and in combination with solid reagents or catalysts. The novel dosing methodol. provides accurately preweighed tablets in virtually any shape and size and with excellent disintegration properties, speeding up parallel solution and solid phase synthesis. The use of tablets is demonstrated in parallel Mitsunobu and acylation reactions.

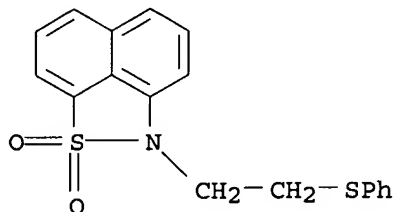
IT 361485-22-5P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(preparation and applications of tablets of functionalized polystyrene beads alone and in combination with solid reagents or catalysts. in parallel solution and solid phase synthesis)

RN 361485-22-5 HCAPLUS

CN 2H-Naphth[1,8-cd]isothiazole, 2-[2-(phenylthio)ethyl]-, 1,1-dioxide (CA INDEX NAME)



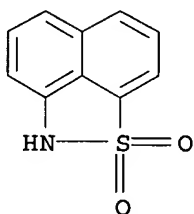
IT 603-72-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and applications of tablets of functionalized polystyrene beads alone and in combination with solid reagents or catalysts. in parallel solution and solid phase synthesis)

RN 603-72-5 HCAPLUS

CN 2H-Naphth[1,8-cd]isothiazole, 1,1-dioxide (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 23 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:193188 HCAPLUS

DOCUMENT NUMBER: 130:296632

TITLE: Solid-phase synthesis of benzisothiazolones as serine protease inhibitors

AUTHOR(S): Yu, Kuo-Long; Civiello, Rita; Roberts, Daniel G. M.; Seiler, Steven M.; Meanwell, Nicholas A.

CORPORATE SOURCE: Department of Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(5), 663-666

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An efficient solid-phase synthesis of benzisothiazolone 1,1-dioxide-based serine protease inhibitors involving alkylation of carboxylic acids with N-(bromomethyl)benzisothiazolone 1,1-dioxide has been developed. An example using this procedure for preparation of a library of human mast cell tryptase inhibitors is described.

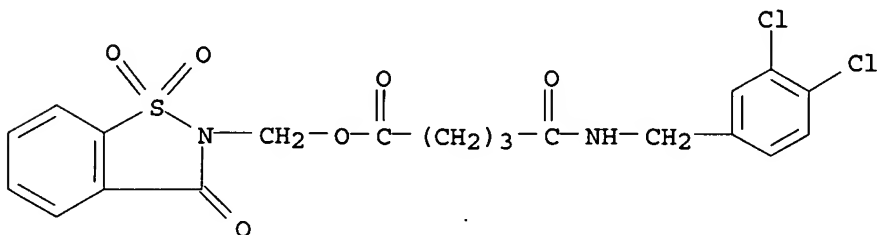
IT 223469-26-9P 223469-28-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

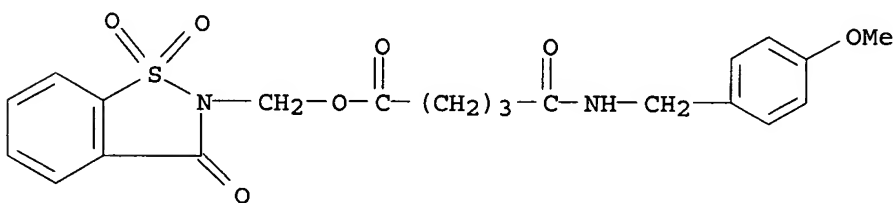
study); PREP (Preparation)

(solid-phase preparation of benzisothiazolones as serine protease inhibitors)

RN 223469-26-9 HCAPLUS

CN Pentanoic acid, 5-[[[(3,4-dichlorophenyl)methyl]amino]-5-oxo-,  
(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)methyl ester (CA INDEX  
NAME)

RN 223469-28-1 HCAPLUS

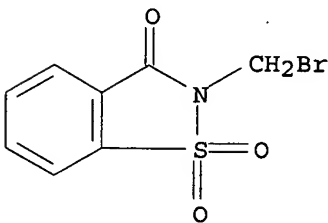
CN Pentanoic acid, 5-[[[(4-methoxyphenyl)methyl]amino]-5-oxo-,  
(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)methyl ester (CA INDEX  
NAME)

IT 54553-19-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(solid-phase preparation of benzisothiazolones as serine protease inhibitors)

RN 54553-19-4 HCAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 2-(bromomethyl)-, 1,1-dioxide (CA INDEX  
NAME)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 25 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:528751 HCAPLUS

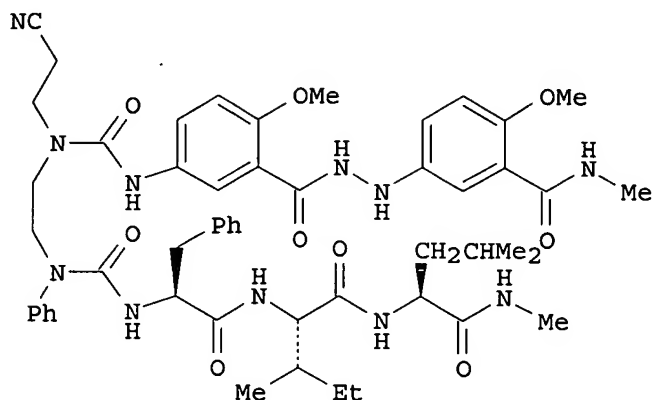
DOCUMENT NUMBER: 127:176699

TITLE: Solid-Phase Synthesis of  
Artificial  $\beta$ -Sheets

AUTHOR(S): Holmes, Darren L.; Smith, Eric M.; Nowick, James S.

CORPORATE SOURCE: Department of Chemistry, University of California,  
Irvine, CA, 92697-2025, USA

SOURCE: Journal of the American Chemical Society (1997),  
119(33), 7665-7669  
CODEN: JACSAT; ISSN: 0002-7863  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

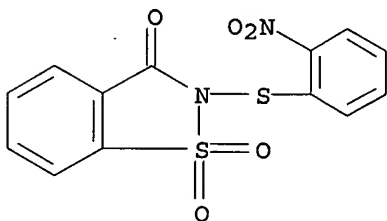


AB The solid-phase syntheses of artificial  $\beta$ -sheets, e.g. I, which mimic the structure and hydrogen-bonding patterns of protein  $\beta$ -sheets is described. In these compds., mol. templates induce  $\beta$ -sheet structures in attached peptide strands. The templates consist of di- and triurea derivs., which hold peptide and peptidomimetic strands in proximity, and  $\beta$ -strand mimics, which hydrogen bond to the peptide strands. The syntheses involve constructing the "lower" peptide strand on Merrifield resin, attaching the di- or triamine portions of the di- or triurea templates, connecting the "upper" peptide and peptidomimetic strands, and cleaving the resulting artificial  $\beta$ -sheets from the resin. The artificial  $\beta$ -sheets were prepared in 8-13 steps from leucine Merrifield in 33-67% overall yield.

IT 16239-03-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(solid-phase synthesis of artificial  $\beta$ -sheet structures)

RN 16239-03-5 HCAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 2-[(2-nitrophenyl)thio]-, 1,1-dioxide (CA INDEX NAME)



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil stng  
COST IN U.S. DOLLARS

SINCE FILE TOTAL  
ENTRY SESSION

E14 1 US2006149063/PN  
 E15 1 US2006149064/PN  
 E16 1 US2006149065/PN  
 E17 2 US2006149066/PN  
 E18 1 US2006149067/PN  
 E19 1 US2006149068/PN  
 E20 1 US2006149069/PN  
 E21 1 US2006149070/PN  
 E22 1 US2006149071/PN  
 E23 1 US2006149072/PN  
 E24 1 US2006149073/PN  
 E25 1 US2006149074/PN

=> S E3

L1 2 US2006149052/PN

=> d l1 ibib abs rn

L1 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:534221 HCAPLUS

DOCUMENT NUMBER: 141:54582

TITLE: Process for the solid phase preparation of  
 oligodeoxyribonucleotides using heterocycle activators

INVENTOR(S): McCormac, Paul

PATENT ASSIGNEE(S): Avecia Limited, UK

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

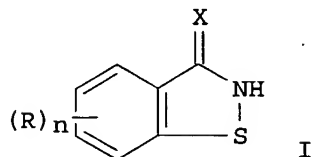
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055036	A1	20040701	WO 2003-GB5464	20031216
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2003091267	A1	20031106	WO 2003-GB1795	20030425
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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CA 2510477	A1	20040701	CA 2003-2510477	20031216
AU 2003292423	A1	20040709	AU 2003-292423	20031216
EP 1575975	A1	20050921	EP 2003-768001	20031216
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1747963	A	20060315	CN 2003-80109693	20031216
JP 2006512411	T	20060413	JP 2005-502460	20031216
US 2006149052	A1	20060706	US 2006-539625	20060103 <--



## PRIORITY APPLN. INFO.:

GB 2002-29443	A 20021218
WO 2003-GB1795	A 20030425
GB 2002-9539	A 20020426
WO 2003-GB5464	W 20031216

OTHER SOURCE(S): CASREACT 141:54582; MARPAT 141:54582  
GI



AB A process for the synthesis of an oligonucleotide is provided in which an oligonucleotide is assembled on a swellable solid support using the phosphoramidite approach in the presence of an activator I, wherein n is 0-4; R for each occurrence is a substituent, or two adjacent R groups taken together with the carbon atoms to which they are attached form a six membered saturated or unsatd. ring; and X is O or S; the activator is not tetrazole or a substituted tetrazole. Preferred activators are pyridinium, imidazolinium and benzimidazolinium salts; benzotriazole and derivs. thereof; and saccharin or a saccharin derivative Preferred swellable solid supports comprise functionalized polystyrene, partially hydrolyzed polyvinyl-acetate or poly(acrylamide).

RN 72065-24-8  
RN 136526-29-9  
RN 482333-73-3  
RN 482333-74-4  
RN 74405-42-8DP  
RN 74405-42-8P  
RN 95298-46-7DP  
RN 125274-16-0P  
RN 223611-40-3P  
RN 704907-41-5DP  
RN 704907-42-6P  
RN 704907-44-8DP  
RN 705292-58-6P  
RN 76-83-5  
RN 100-42-5  
RN 105-74-8  
RN 107-15-3  
RN 108-30-5  
RN 112-60-7  
RN 1321-74-0  
RN 2628-16-2  
RN 6846-35-1  
RN 9003-53-6D  
RN 57951-36-7  
RN 64325-78-6  
RN 98002-50-7

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 72065-24-8 OR 136526-29-9 OR 482333-73-3 OR 482333-74-4 OR 74405-42-8 OR 74405-42-8 OR 95298-46-7 OR 125274-16-0 OR 223611-40-3 OR 704907-41-5

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L3                    1 L2

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L5                    4 L4

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L7                    18 L6

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L9                    4 L8

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L11                   38 L10

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L13            38 L12

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L15            4 L14

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L17            2 L16

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L19            6 L18

REGISTRY INITIATED  
Substance data SEARCH and crossover from CAS REGISTRY in progress...  
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L21            16 L20

L22            78 L21 OR L19 OR L17 OR L15 OR L13 OR L11 OR L9 OR L7 OR L5 OR L3

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
2.69	48.43

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-0.80

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FILE 'REGISTRY' ENTERED AT 16:02:22 ON 31 JAN 2008

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STRUCTURE FILE UPDATES: 30 JAN 2008 HIGHEST RN 1001156-45-1

DICTIONARY FILE UPDATES: 30 JAN 2008 HIGHEST RN 1001156-45-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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1 107-15-3  
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1 108-30-5  
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1 112-60-7

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=> s 72065-24-8 OR 136526-29-9 OR 482333-73-3 OR 482333-74-4 OR 74405-42-8 OR 74405-42-8 OR
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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.46

48.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-0.80

FILE 'HCAPLUS' ENTERED AT 16:02:37 ON 31 JAN 2008

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FILE COVERS 1907 - 31 Jan 2008 VOL 148 ISS 5  
FILE LAST UPDATED: 30 Jan 2008 (20080130/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 125

L26 233313 L25

=> s 11 and 126

L27 2 L1 AND L26

=> d 127 ibib abs hitstr 1-2

L27 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:534221 HCAPLUS

DOCUMENT NUMBER: 141:54582

TITLE: Process for the solid phase preparation of oligodeoxyribonucleotides using heterocycle activators

INVENTOR(S): McCormac, Paul

PATENT ASSIGNEE(S): Avecia Limited, UK

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

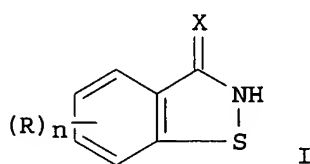
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

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WO 2003091267	A1	20031106	WO 2003-GB1795	20030425
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CA 2510477	A1	20040701	CA 2003-2510477	20031216
AU 2003292423	A1	20040709	AU 2003-292423	20031216
EP 1575975	A1	20050921	EP 2003-768001	20031216
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CN 1747963	A	20060315	CN 2003-80109693	20031216
JP 2006512411	T	20060413	JP 2005-502460	20031216
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PRIORITY APPLN. INFO.:				
			GB 2002-29443	A 20021218
			WO 2003-GB1795	A 20030425
			GB 2002-9539	A 20020426
			WO 2003-GB5464	W 20031216
OTHER SOURCE(S): CASREACT 141:54582; MARPAT 141:54582				
GI				



AB A process for the synthesis of an oligonucleotide is provided in which an oligonucleotide is assembled on a swellable solid support using the phosphoramidite approach in the presence of an activator I, wherein n is 0-4; R for each occurrence is a substituent, or two adjacent R groups taken together with the carbon atoms to which they are attached form a six membered saturated or unsatd. ring; and X is O or S; the activator is not tetrazole or a substituted tetrazole. Preferred activators are pyridinium, imidazolinium and benzimidazolinium salts; benzotriazole and derivs. thereof; and saccharin or a saccharin derivative Preferred swellable solid supports comprise functionalized polystyrene, partially hydrolyzed polyvinyl-acetate or poly(acrylamide).

IT 72065-24-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(copolymer; process for solid phase preparation of oligodeoxyribonucleotides using heterocycle activators)

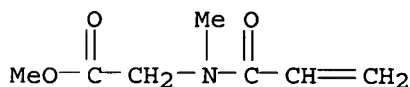
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CN Glycine, N-methyl-N-(1-oxo-2-propenyl)-, methyl ester, polymer with N,N-dimethyl-2-propenamide and N,N'-1,2-ethanediylbis[2-propenamide] (9CI)  
(CA INDEX NAME)

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CRN 72065-23-7

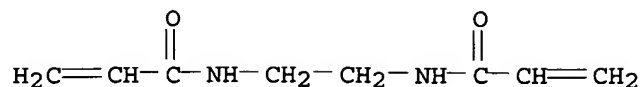
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CM 2

CRN 2956-58-3

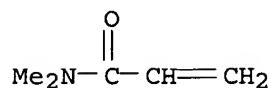
CMF C8 H12 N2 O2



CM 3

CRN 2680-03-7

CMF C5 H9 N O



IT 136526-29-9 482333-73-3 482333-74-4

RL: CAT (Catalyst use); USES (Uses)

(process for solid phase preparation of oligodeoxyribonucleotides using heterocycle activators)

RN 136526-29-9 HCAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with pyridine (1:1) (CA INDEX NAME)

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CRN 110-86-1

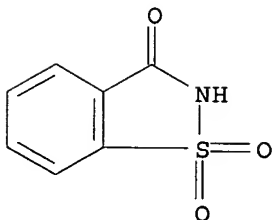
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CM 2

CRN 81-07-2

CMF C7 H5 N O3 S



RN 482333-73-3 HCAPLUS

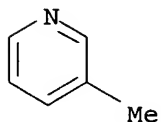
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with 3-methylpyridine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 108-99-6

CMF C6 H7 N

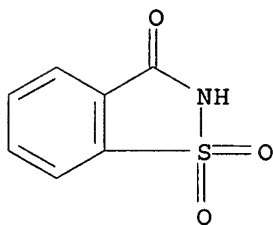




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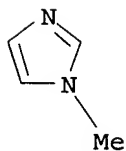
RN 482333-74-4 HCAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with  
1-methyl-1H-imidazole (1:1) (CA INDEX NAME)

CM 1

CRN 616-47-7

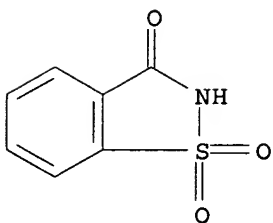
CMF C4 H6 N2



CM 2

CRN 81-07-2

CMF C7 H5 N O3 S



IT 74405-42-8DP, resin bound 74405-42-8P

95298-46-7DP, resin bound 125274-16-0P

223611-40-3P 704907-41-5DP, resin bound

704907-42-6P 704907-44-8DP, resin polymer support

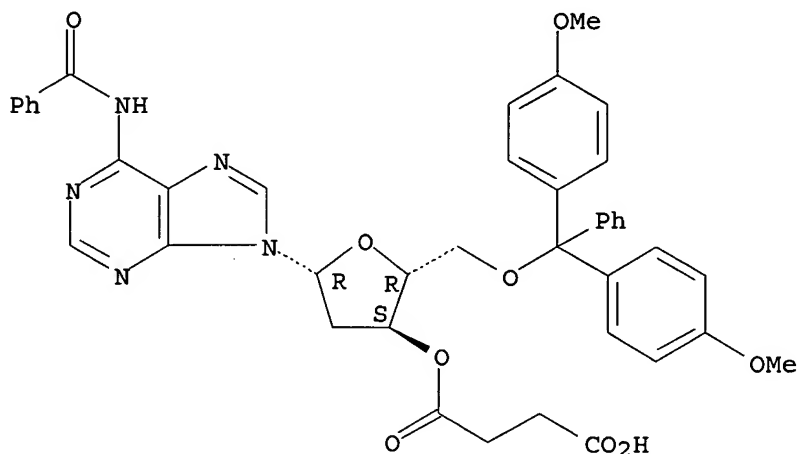
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic

preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (process for solid phase preparation of oligodeoxyribonucleotides using  
 heterocycle activators)

RN 74405-42-8 HCAPLUS

CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-,  
 3'-(hydrogen butanedioate) (CA INDEX NAME)

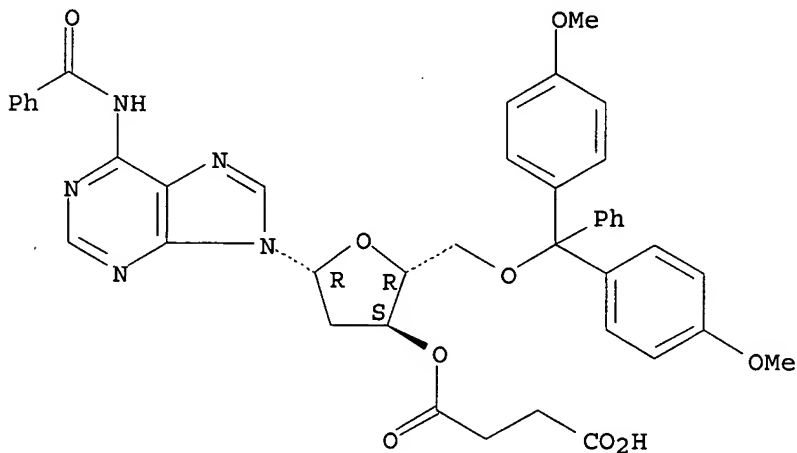
Absolute stereochemistry.



RN 74405-42-8 HCAPLUS

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 3'-(hydrogen butanedioate) (CA INDEX NAME)

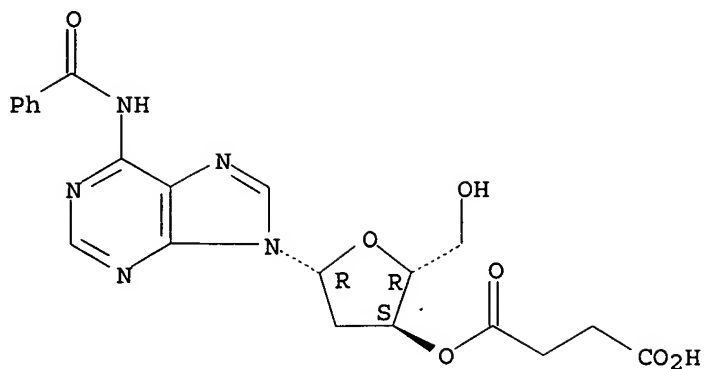
Absolute stereochemistry.



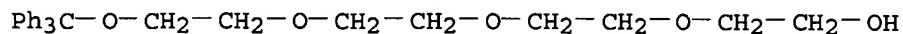
RN 95298-46-7 HCAPLUS

CN Adenosine, N-benzoyl-2'-deoxy-, 3'-(hydrogen butanedioate) (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.

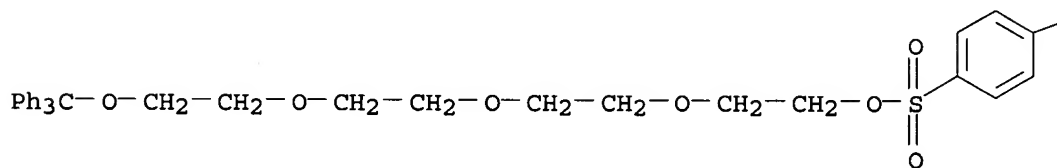


RN 125274-16-0 HCAPLUS  
 CN 3,6,9,12-Tetraoxatridecan-1-ol, 13,13,13-triphenyl- (CA INDEX NAME)



RN 223611-40-3 HCAPLUS  
 CN 2,5,8,11-Tetraoxatridecan-13-ol, 1,1,1-triphenyl-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

PAGE 1-A



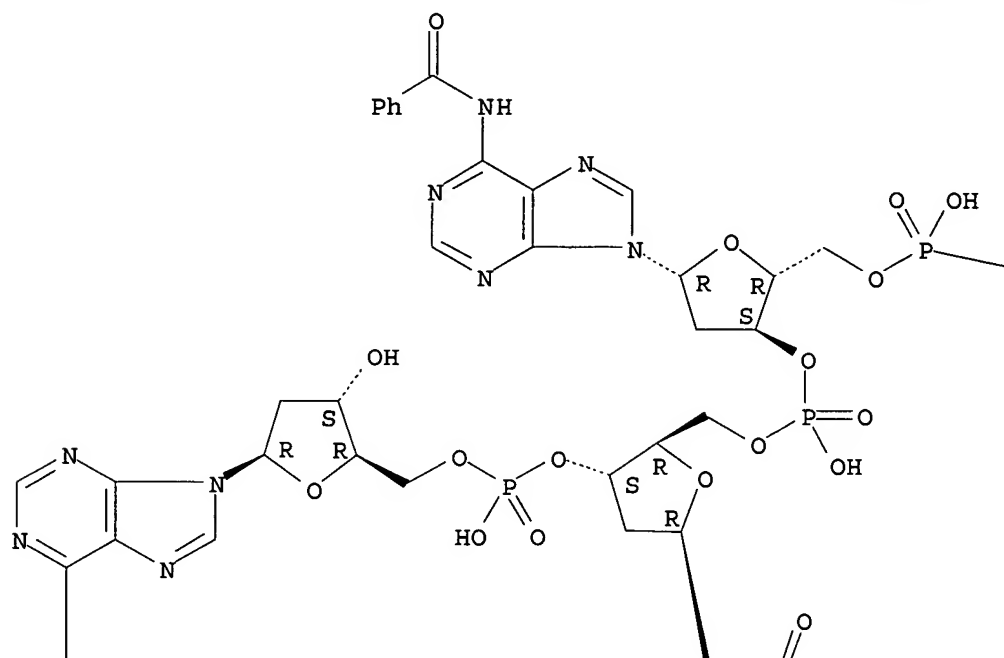
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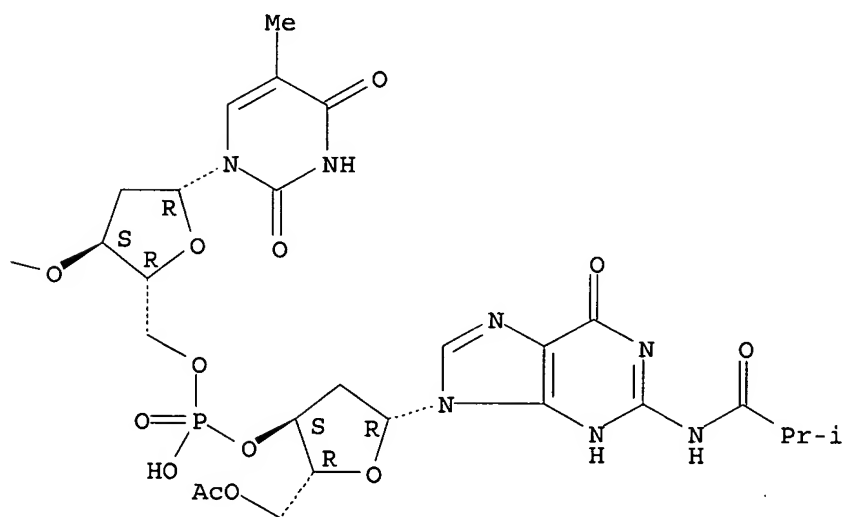
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 CN Adenosine, 5'-O-acetyl-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')-thymidylyl-(3'→5')-N-benzoyl-2'-deoxyadenylyl-(3'→5')-N-benzoyl-2'-deoxycytidylyl-(3'→5')-N-benzoyl-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

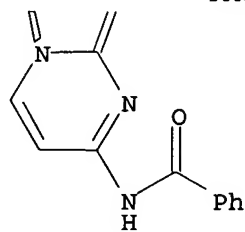
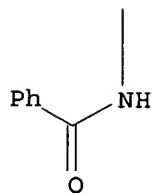
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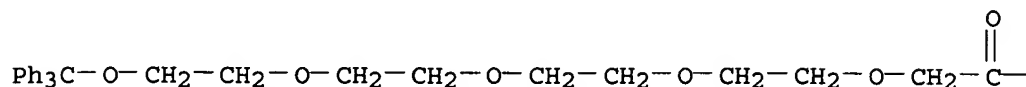


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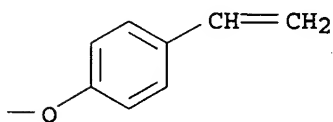


RN 704907-42-6 HCAPLUS  
 CN 2,5,8,11,14-Pentaoxahexadecan-16-oic acid, 1,1,1-triphenyl-,  
 4-ethenylphenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

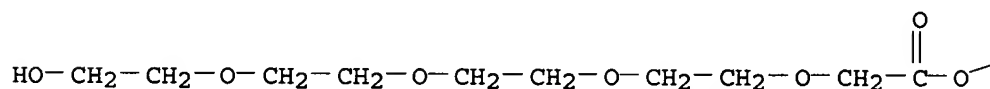


RN 704907-44-8 HCAPLUS  
 CN 3,6,9,12-Tetraoxatetradecanoic acid, 14-hydroxy-, 4-ethenylphenyl ester,  
 polymer with Airvol 540, bis(1-oxododecyl) peroxide, diethenylbenzene and  
 ethenylbenzene (9CI) (CA INDEX NAME)

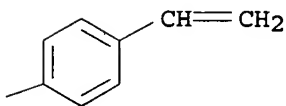
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PAGE 1-A



PAGE 1-B



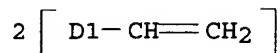
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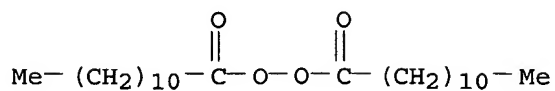
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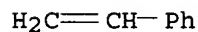
CMF C24 H46 O4



CM 5

CRN 100-42-5

CMF C8 H8



IT 705292-58-6P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

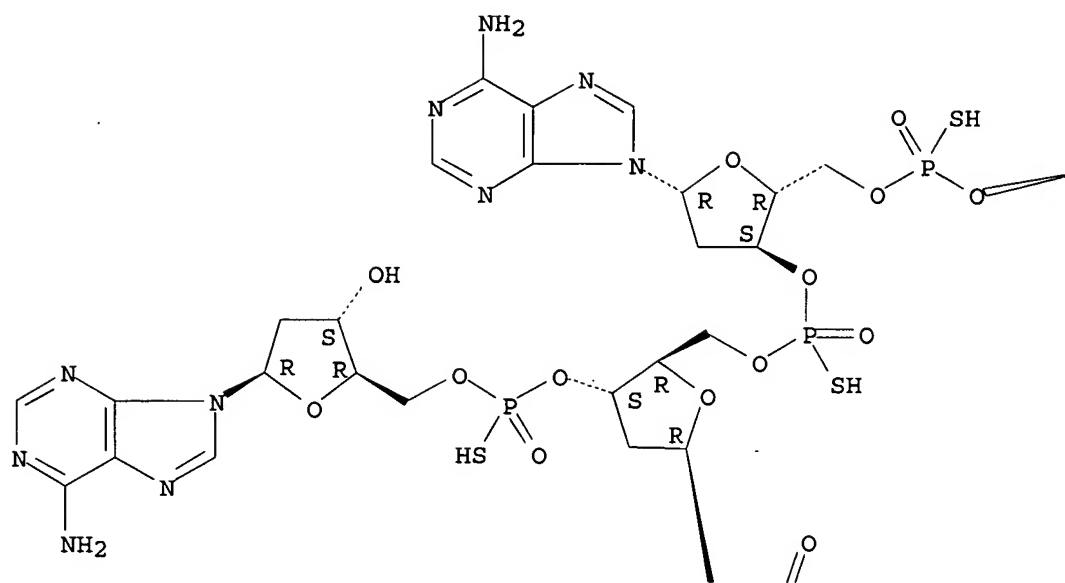
(process for solid phase preparation of oligodeoxyribonucleotides using heterocycle activators)

RN 705292-58-6 HCAPLUS

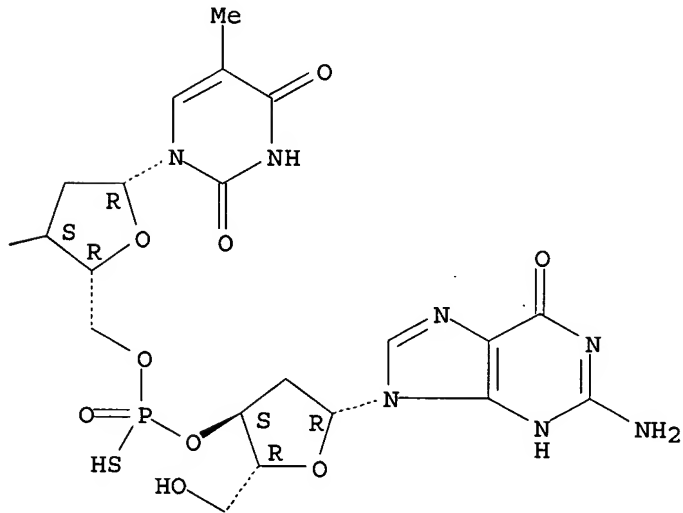
CN Adenosine, 2'-deoxy-P-thioguanilyl-(3'→5')-P-thiothymidylyl-(3'→5')-2'-deoxy-P-thioadenilyl-(3'→5')-2'-deoxy-P-thiocytidylyl-(3'→5')-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

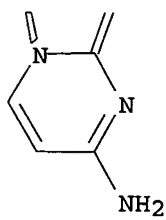
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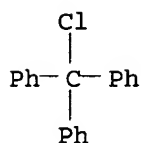
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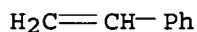
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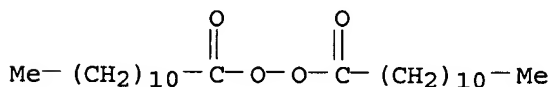
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 Ethylene diamine, reactions 108-30-5, Succinic anhydride,  
 reactions 112-60-7, Tetraethylene glycol 1321-74-0,  
 Divinylbenzene, reactions 2628-16-2 6846-35-1  
 9003-53-6D, Polystyrene, aminomethylated 57951-36-7  
 64325-78-6 98002-50-7, Airvol 540  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (process for solid phase preparation of oligodeoxyribonucleotides using  
 heterocycle activators)  
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 CN Benzene, 1,1',1''-(chloromethylidene)tris- (CA INDEX NAME)



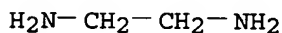
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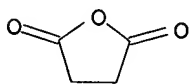
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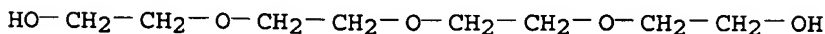
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RN 108-30-5 HCAPLUS  
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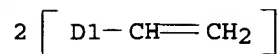


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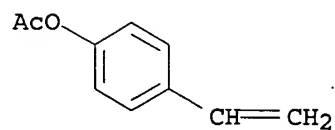


RN 1321-74-0 HCAPLUS  
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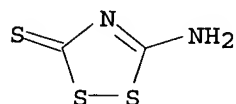




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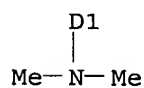
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CM 1

CRN 100-42-5  
 CMF C8 H8

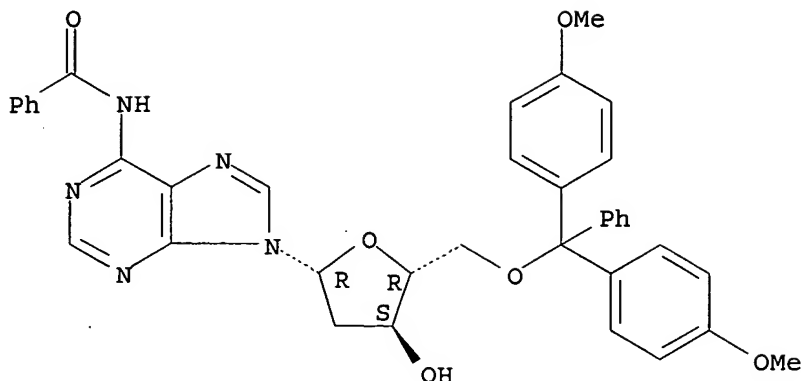


RN 57951-36-7 HCAPLUS  
 CN Pyridinamine, N,N-dimethyl- (CA INDEX NAME)



RN 64325-78-6 HCAPLUS  
 CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 98002-50-7 HCAPLUS  
CN Airvol 540 (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:875300 HCAPLUS

DOCUMENT NUMBER: 139:338166

TITLE: Process for preparing oligonucleotides

INVENTOR(S): Moody, David John; Wellings, Donald Alfred; McCormac, Paul

PATENT ASSIGNEE(S): Avecia Limited, UK

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091267	A1	20031106	WO 2003-GB1795	20030425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2483483	A1	20031106	CA 2003-2483483	20030425
AU 2003229946	A1	20031110	AU 2003-229946	20030425
EP 1501851	A1	20050202	EP 2003-722784	20030425
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CN 1649935	A	20050803	CN 2003-809193	20030425
CN 1649889	A	20050803	CN 2003-809202	20030425
JP 2005534629	T	20051117	JP 2003-587825	20030425
CA 2510477	A1	20040701	CA 2003-2510477	20031216
WO 2004055036	A1	20040701	WO 2003-GB5464	20031216
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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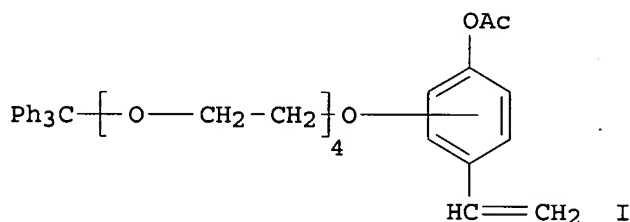
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 EP 1575975 A1 20050921 EP 2003-768001 20031216

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CN 1747963 A 20060315 CN 2003-80109693 20031216  
 JP 2006512411 T 20060413 JP 2005-502460 20031216  
 US 2006036028 A1 20060216 US 2005-512138 20050614  
 US 2006149052 A1 20060706 US 2006-539625 20060103 <--

PRIORITY APPLN. INFO.: GB 2002-9539 A 20020426  
 GB 2002-29443 A 20021218  
 WO 2003-GB1795 W 20030425  
 WO 2003-GB5464 W 20031216

OTHER SOURCE(S): MARPAT 139:338166  
 GI



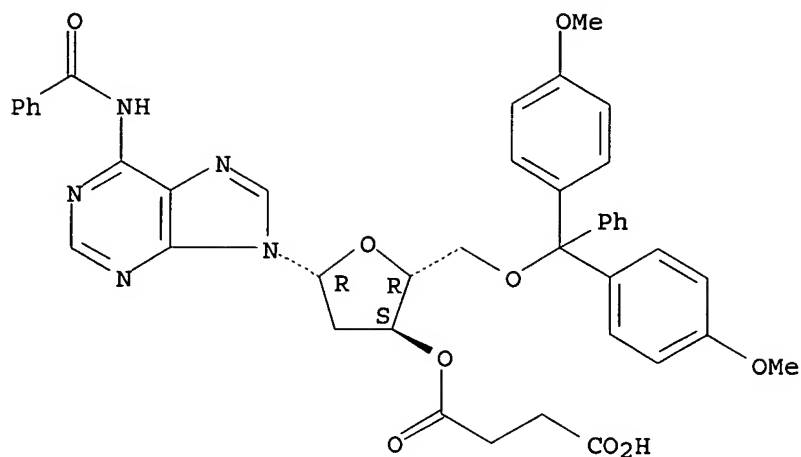
AB Preparation of a monomer and its use in synthesizing a solid-support resin for use in the synthesis of oligonucleotides was given, with an example of resin use in preparation of a deoxyribonucleotide pentamer. Thus, tetraethyleneglycol was mono-protected by reaction with trityl chloride, and the remaining OH group was activated as the tosylate. This product was then reacted with 4-acetoxystyrene to give (I), which was copolymd. with poly(vinyl alc.), styrene, and divinylbenzene, using lauroyl peroxide as initiator. The resulting polymer beads were used in standard synthesis of the pentamer dGTACA.

IT 74405-42-8DP, resin-bound 95298-46-7DP, resin-bound  
 125274-16-0P 223611-40-3P  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of solid-phase synthesis resin for oligonucleotide synthesis)

RN 74405-42-8 HCAPLUS

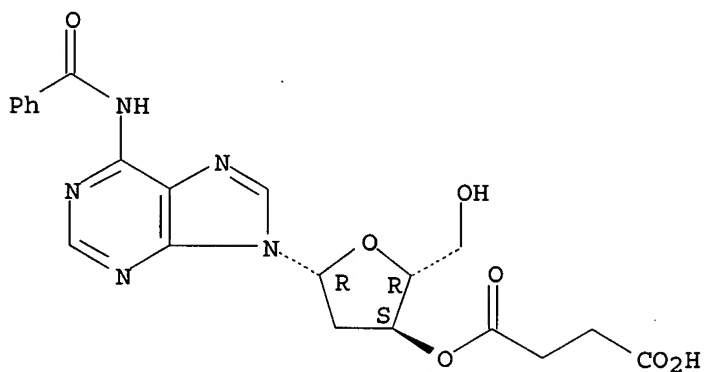
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Absolute stereochemistry.

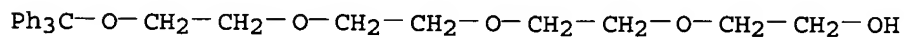


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RN      95298-46-7  HCAPLUS
CN      Adenosine, N-benzoyl-2'-deoxy-, 3'-(hydrogen butanedioate) (9CI)  (CA
INDEX NAME)
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Absolute stereochemistry.

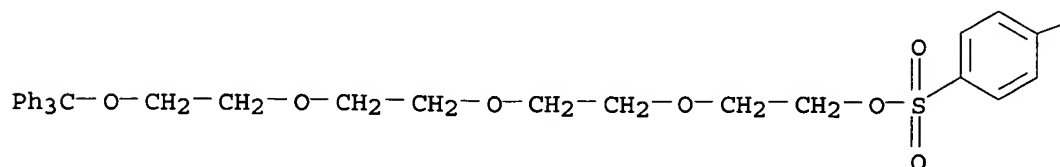


RN	125274-16-0	HCAPLUS	
CN	3,6,9,12-Tetraoxatridecan-1-ol, 13,13,13-triphenyl-	(CA INDEX NAME)	



RN	223611-40-3	HCAPLUS
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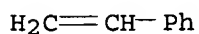
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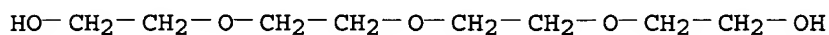
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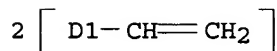
IT. 100-42-5, Styrene, reactions 112-60-7,  
 Tetraethyleneglycol 1321-74-0, Divinylbenzene, reactions  
 2628-16-2, 4-Acetoxy styrene 74405-42-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of solid-phase synthesis resin for oligonucleotide synthesis)  
 RN 100-42-5 HCAPLUS  
 CN Benzene, ethenyl- (CA INDEX NAME)



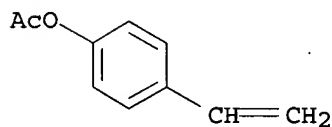
RN 112-60-7 HCAPLUS  
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RN 1321-74-0 HCAPLUS  
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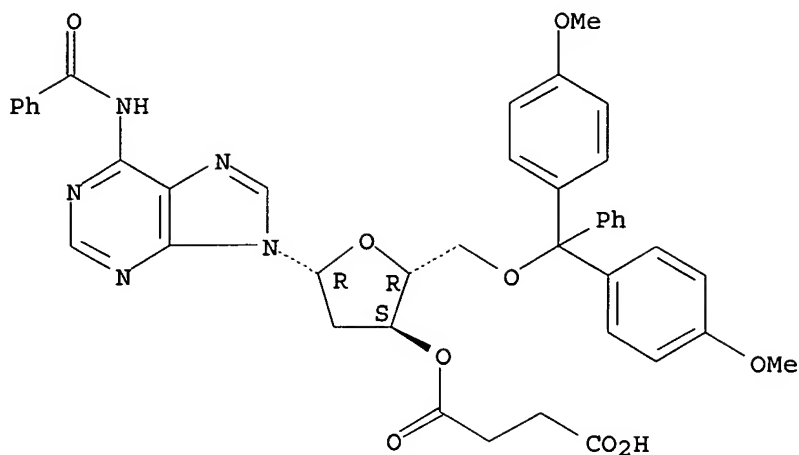


RN 2628-16-2 HCAPLUS  
 CN Phenol, 4-ethenyl-, 1-acetate (CA INDEX NAME)



RN 74405-42-8 HCAPLUS  
 CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-,  
 3'-(hydrogen butanedioate) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil stng		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	13.59	62.48
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-1.60	-2.40

FILE 'STNGUIDE' ENTERED AT 16:03:09 ON 31 JAN 2008  
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FILE CONTAINS CURRENT INFORMATION.  
 LAST RELOADED: Jan 25, 2008 (20080125/UP).

=> fil reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	0.00	-2.40

FILE 'REGISTRY' ENTERED AT 16:06:24 ON 31 JAN 2008  
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STRUCTURE FILE UPDATES: 30 JAN 2008 HIGHEST RN 1001156-45-1  
 DICTIONARY FILE UPDATES: 30 JAN 2008 HIGHEST RN 1001156-45-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when

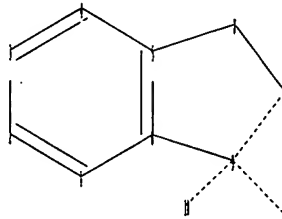
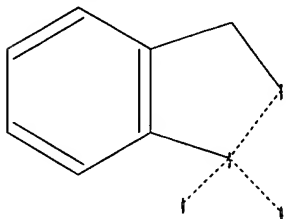
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\625.str



chain nodes :

10 11

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

9-10 9-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

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normalized bonds :

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Match level :

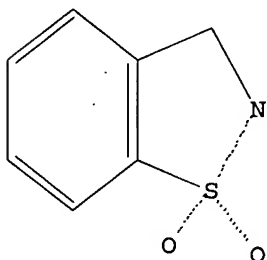
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L28 STRUCTURE UPLOADED

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L28 HAS NO ANSWERS

L28 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l28 sss sam

SAMPLE SEARCH INITIATED 16:07:13 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1055 TO ITERATE

100.0% PROCESSED 1055 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

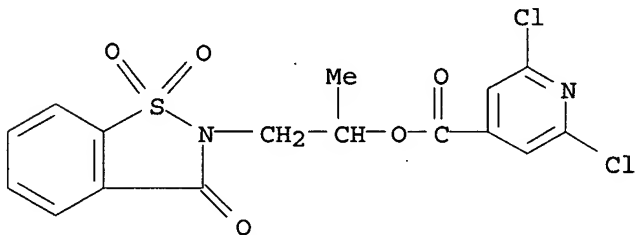
50 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 19152 TO 23048  
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L29 50 SEA SSS SAM L28

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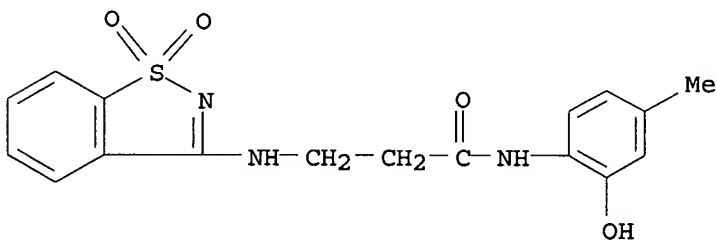
L29 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 4-Pyridinecarboxylic acid, 2,6-dichloro-, 2-(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)-1-methylethyl ester  
MF C16 H12 Cl2 N2 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

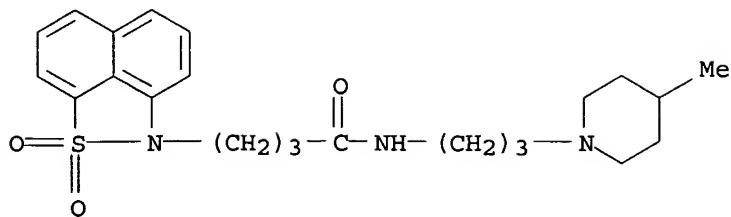
L29 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Propanamide, 3-[(1,1-dioxido-1,2-benzisothiazol-3-yl)amino]-N-(2-hydroxy-4-methylphenyl)-  
MF C17 H17 N3 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

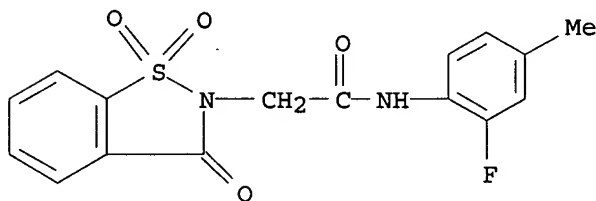
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IN INDEX NAME NOT YET ASSIGNED  
MF C23 H31 N3 O3 S





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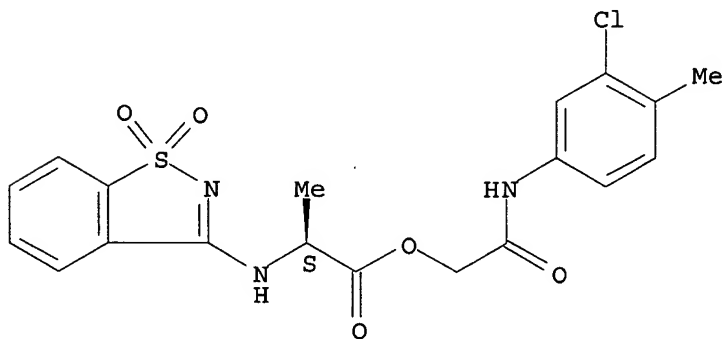
L29 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1,2-Benzisothiazole-2(3H)-acetamide, N-(2-fluoro-4-methylphenyl)-3-oxo-,  
 1,1-dioxide  
 MF C16 H13 F N2 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

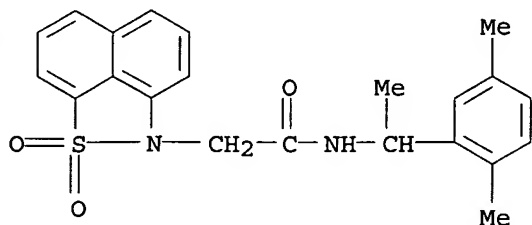
L29 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN L-Alanine, N-(1,1-dioxido-1,2-benzisothiazol-3-yl)-, 2-[(3-chloro-4-methylphenyl)amino]-2-oxoethyl ester  
 MF C19 H18 Cl N3 O5 S

Absolute stereochemistry.



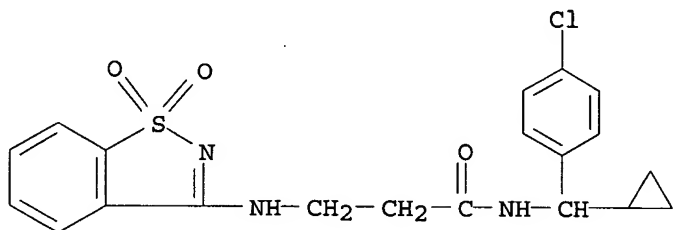
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 MF C22 H22 N2 O3 S



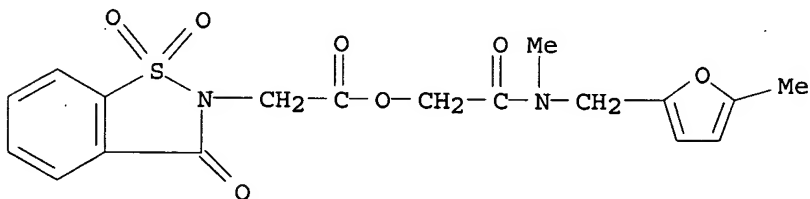
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L29 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
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 MF C20 H20 Cl N3 O3 S



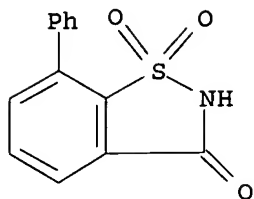
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L29 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1,2-Benzisothiazole-2(3H)-acetic acid, 3-oxo-, 2-[methyl[(5-methyl-2-furanyl)methyl]amino]-2-oxoethyl ester, 1,1-dioxide  
 MF C18 H18 N2 O7 S



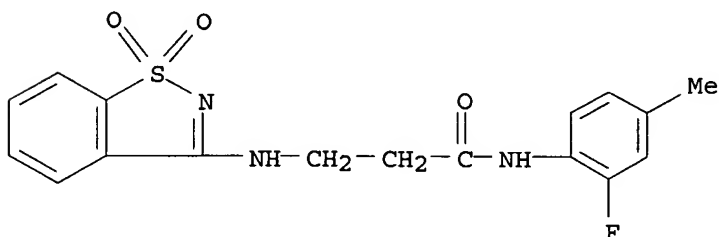
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L29 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1,2-Benzisothiazol-3(2H)-one, 7-phenyl-, 1,1-dioxide  
 MF C13 H9 N O3 S



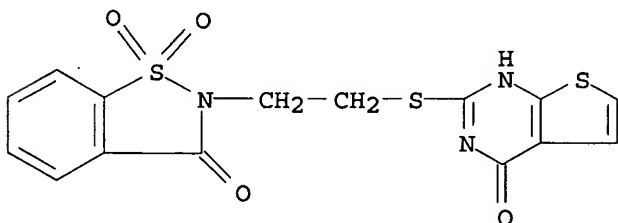
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L29 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Propanamide, 3-[(1,1-dioxido-1,2-benzisothiazol-3-yl)amino]-N-(2-fluoro-4-methylphenyl)-  
 MF C17 H16 F N3 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L29 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Thieno[2,3-d]pyrimidin-4(1H)-one, 2-[[2-(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)ethyl]thio]-  
 MF C15 H11 N3 O4 S3



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l28 sss full

FULL SEARCH INITIATED 16:07:48 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 20975 TO ITERATE

100.0% PROCESSED 20975 ITERATIONS

SEARCH TIME: 00.00.01

16211 ANSWERS

L30 16211 SEA SSS FUL L28

=&gt; fil hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.82

241.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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FILE 'HCAPLUS' ENTERED AT 16:07:52 ON 31 JAN 2008

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FILE COVERS 1907 - 31 Jan 2008 VOL 148 ISS 5

FILE LAST UPDATED: 30 Jan 2008 (20080130/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=&gt; s l30

L31 10412 L30

=&gt; s solid phase

1116670 SOLID

293908 SOLIDS

1332630 SOLID

(SOLID OR SOLIDS)

1840694 PHASE

378595 PHASES

1999650 PHASE

(PHASE OR PHASES)

L32 120133 SOLID PHASE

(SOLID(W) PHASE)

=&gt; s l31 and l32

L33 36 L31 AND L32

=&gt; s l33 and phosphoramidite

3152 PHOSPHORAMIDITE

1258 PHOSPHORAMIDITES

3703 PHOSPHORAMIDITE

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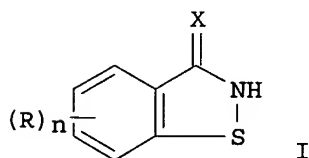
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=&gt; d l34 ibib abs hitstr

L34 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:534221 HCAPLUS  
 DOCUMENT NUMBER: 141:54582  
 TITLE: Process for the solid phase  
 preparation of oligodeoxyribonucleotides using  
 heterocycle activators  
 INVENTOR(S): McCormac, Paul  
 PATENT ASSIGNEE(S): Avecia Limited, UK  
 SOURCE: PCT Int. Appl., 23 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055036	A1	20040701	WO 2003-GB5464	20031216
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2003091267	A1	20031106	WO 2003-GB1795	20030425
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2510477	A1	20040701	CA 2003-2510477	20031216
AU 2003292423	A1	20040709	AU 2003-292423	20031216
EP 1575975	A1	20050921	EP 2003-768001	20031216
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1747963	A	20060315	CN 2003-80109693	20031216
JP 2006512411	T	20060413	JP 2005-502460	20031216
US 2006149052	A1	20060706	US 2006-539625	20060103
PRIORITY APPLN. INFO.:			GB 2002-29443	A 20021218
			WO 2003-GB1795	A 20030425
			GB 2002-9539	A 20020426
			WO 2003-GB5464	W 20031216
OTHER SOURCE(S):		CASREACT 141:54582; MARPAT 141:54582		
GI				



AB A process for the synthesis of an oligonucleotide is provided in which an oligonucleotide is assembled on a swellable solid support using the phosphoramidite approach in the presence of an activator I, wherein n is 0-4; R for each occurrence is a substituent, or two adjacent R groups taken together with the carbon atoms to which they are attached form a six membered saturated or unsatd. ring; and X is O or S; the activator is not tetrazole or a substituted tetrazole. Preferred activators are pyridinium, imidazolinium and benzimidazolinium salts; benzotriazole and derivs. thereof; and saccharin or a saccharin derivative Preferred swellable solid supports comprise functionalized polystyrene, partially hydrolyzed polyvinyl-acetate or poly(acrylamide).

IT 136526-29-9 482333-73-3 482333-74-4  
 RL: CAT (Catalyst use); USES (Uses)  
 (process for solid phase preparation of  
 oligodeoxyribonucleotides using heterocycle activators)

RN 136526-29-9 HCAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with pyridine (1:1) (CA INDEX NAME)

CM 1

CRN 110-86-1

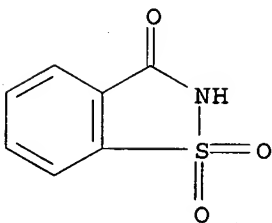
CMF C5 H5 N



CM 2

CRN 81-07-2

CMF C7 H5 N O3 S



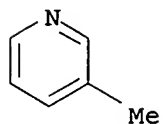
RN 482333-73-3 HCAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with 3-methylpyridine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 108-99-6

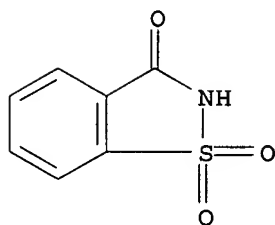
CMF C6 H7 N



CM 2

CRN 81-07-2

CMF C7 H5 N O3 S



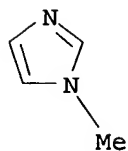
RN 482333-74-4 HCAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with  
1-methyl-1H-imidazole (1:1) (CA INDEX NAME)

CM 1

CRN 616-47-7

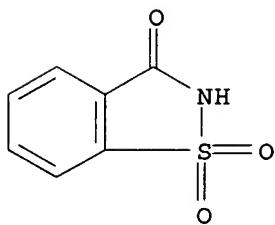
CMF C4 H6 N2



CM 2

CRN 81-07-2

CMF C7 H5 N O3 S



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY	SESSION
	8.14	249.74
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY	SESSION
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LAST RELOADED: Jan 25, 2008 (20080125/UP).

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FILE 'HCAPLUS' ENTERED AT 15:59:18 ON 31 JAN 2008

E US20060149052/PN 25

L1 2 S E3

S 72065-24-8/REG# OR 136526-29-9/REG# OR 482333-73-3/REG# OR

FILE 'REGISTRY' ENTERED AT 16:02:01 ON 31 JAN 2008

L2 1 S 704907-41-5/RN

FILE 'HCAPLUS' ENTERED AT 16:02:01 ON 31 JAN 2008

L3 1 S L2

FILE 'REGISTRY' ENTERED AT 16:02:02 ON 31 JAN 2008

L4 1 S 223611-40-3/RN

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L5 4 S L4

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L6 1 S 125274-16-0/RN

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L7 18 S L6

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L8 1 S 95298-46-7/RN

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L9 4 S L8

FILE 'REGISTRY' ENTERED AT 16:02:05 ON 31 JAN 2008

L10 1 S 74405-42-8/RN

FILE 'HCAPLUS' ENTERED AT 16:02:05 ON 31 JAN 2008

L11 38 S L10

FILE 'REGISTRY' ENTERED AT 16:02:06 ON 31 JAN 2008

L12 1 S 74405-42-8/RN

FILE 'HCAPLUS' ENTERED AT 16:02:06 ON 31 JAN 2008

L13 38 S L12

FILE 'REGISTRY' ENTERED AT 16:02:07 ON 31 JAN 2008

L14 1 S 482333-74-4/RN

FILE 'HCAPLUS' ENTERED AT 16:02:07 ON 31 JAN 2008



L15 4 S L14

FILE 'REGISTRY' ENTERED AT 16:02:08 ON 31 JAN 2008  
L16 1 S 482333-73-3/RN

FILE 'HCAPLUS' ENTERED AT 16:02:08 ON 31 JAN 2008  
L17 2 S L16

FILE 'REGISTRY' ENTERED AT 16:02:09 ON 31 JAN 2008  
L18 1 S 136526-29-9/RN

FILE 'HCAPLUS' ENTERED AT 16:02:09 ON 31 JAN 2008  
L19 6 S L18

FILE 'REGISTRY' ENTERED AT 16:02:10 ON 31 JAN 2008  
L20 1 S 72065-24-8/RN

FILE 'HCAPLUS' ENTERED AT 16:02:11 ON 31 JAN 2008  
L21 16 S L20  
L22 78 S L21 OR L19 OR L17 OR L15 OR L13 OR L11 OR L9 OR L7 OR L5 OR L

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L23 16 S 704907-42-6 OR 704907-44-8 OR 705292-58-6 OR 76-83-5 OR 100-4  
L24 9 S 72065-24-8 OR 136526-29-9 OR 482333-73-3 OR 482333-74-4 OR 74  
L25 25 S L23 OR L24

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L26 233313 S L25  
L27 2 S L1 AND L26

FILE 'STNGUIDE' ENTERED AT 16:03:09 ON 31 JAN 2008

FILE 'REGISTRY' ENTERED AT 16:06:24 ON 31 JAN 2008  
L28 STRUCTURE UPLOADED  
L29 50 S L28 SSS SAM  
L30 16211 S L28 SSS FULL

FILE 'HCAPLUS' ENTERED AT 16:07:52 ON 31 JAN 2008  
L31 10412 S L30  
L32 120133 S SOLID PHASE  
L33 36 S L31 AND L32  
L34 1 S L33 AND PHOSPHORAMIDITE

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	0.06	249.80
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
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FILE LAST UPDATED: 30 Jan 2008 (20080130/ED)

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=> s l33 not l34  
L35 35 L33 NOT L34

=> d l35 ibib abs 1-35

L35 ANSWER 1 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1137820 HCAPLUS  
DOCUMENT NUMBER: 147:528510  
TITLE: Fluorimetric sequential injection analysis optosensing in pharmaceutical analysis: Determination of paracetamol  
AUTHOR(S): Llorent-Martinez, E. J.; Satinsky, D.; Solich, P.; Ortega-Barrales, P.; Molina-Diaz, A.  
CORPORATE SOURCE: Department of Physical and Analytical Chemistry, Faculty of Experimental Sciences, University of Jaen, Jaen, Paraje Las Lagunillas, E-32071, Spain  
SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2007), 45(2), 318-321  
CODEN: JPBADA; ISSN: 0731-7085  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The coupling of sequential injection anal. (SIA) and fluorimetric solid phase transduction is here applied to the determination of paracetamol in pharmaceuticals. The reaction product between the analyte and sodium nitrite in acidic medium is inserted, after alkalization, in the system. This product is transitorily retained on the active solid sensing phase (the anionic solid support QAE A-25) developing its native fluorescence signal, which is measured at 325/430 nm for the excitation and emission wavelengths resp. The described system is linear within the range 6.6-80 µg ml<sup>-1</sup>, with a 2 µg ml<sup>-1</sup> detection limit and a 2.5% R.S.D (n = 10). The proposed fluorimetric SIA optosensor has been applied to the determination of paracetamol in several pharmaceutical preps., obtaining satisfactory results.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 2 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:713080 HCAPLUS  
DOCUMENT NUMBER: 147:210369  
TITLE: Simultaneous determination of nine intense sweeteners in foodstuffs by high performance liquid chromatography and evaporative light scattering detection-Development and single-laboratory validation  
AUTHOR(S): Wasik, Andrzej; McCourt, Josephine; Buchgraber, Manuela  
CORPORATE SOURCE: DG Joint Research Centre, Institute for Reference Materials and Measurements, European Commission, Geel, 2440, Belg.  
SOURCE: Journal of Chromatography, A (2007), 1157(1-2),

187-196  
CODEN: JCRAEY; ISSN: 0021-9673

PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A high performance liquid chromatog. method with evaporative light scattering detection (HPLC-ELSD) was developed for the simultaneous determination of multiple sweeteners, i.e., acesulfame-K, alitame, aspartame, cyclamic acid, dulcin, neotame, neohesperidine dihydrochalcone, saccharin, and sucralose in carbonated and non-carbonated soft drinks, canned or bottled fruits and yogurt. The procedure involves an extraction of the nine sweeteners with a buffer solution, sample clean-up using solid-phase extraction cartridges followed by an HPLC-ELSD anal. The trueness of the method was satisfactory with recoveries ranging from 93 to 109% for concentration levels around the maximum usable dosages for authorised sweeteners and from 100 to 112% for unauthorised compds. at concentration levels close to the limit of quantification (LOQs). Precision measures showed mean repeatability values of <4% (expressed as relative standard deviation) for highly concentrated samples and <5% at concentration levels close to the LOQs. Intermediate precision was in most cases <8%. The limits of detection (LODs) were below 15 µg g<sup>-1</sup> and the LOQs below 30 µg g<sup>-1</sup> in all three matrixes. Only dulcin showed slightly higher values, i.e., LODs around 30 µg g<sup>-1</sup> and LOQs around 50 µg g<sup>-1</sup>.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 3 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1063150 HCAPLUS  
DOCUMENT NUMBER: 145:397801  
TITLE: Novel peptides useful for treatment of alopecia  
INVENTOR(S): Singh, Anu T.; Prasad, Sudhanand; Datta, Kakali;  
Ahuja, Rinku; Mukherjee, Rama; Burman, Anand C.  
PATENT ASSIGNEE(S): Dabur Pharma Limited, India  
SOURCE: PCT Int. Appl., 70pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006106528	A1	20061012	WO 2005-IN453	20051230
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
IN 2005DE00898	A	20070112	IN 2005-DE898	20050407
PRIORITY APPLN. INFO.:			IN 2005-DE898	A 20050407
OTHER SOURCE(S):	MARPAT 145:397801			

AB The invention provides novel peptides Z-NHCR1R2CO-X [X is Arg, His, Lys, Orn, or Gly; R1, R2 are alkyl or R1R2C is a C3-C8 carbocycle; Z is Arg, His, Orn, or Lys; Z is H or a protective group] and their pharmaceutically-acceptable salts and a method of in vitro or in vivo bioassay of the peptides for promotion and stimulation of hair growth and therefore their usefulness for treatment of alopecia. Methods of

synthesis of the novel peptides and pharmaceutical compns. for promotion and stimulation of hair growth are described. Thus, H-His-NHCMe<sub>2</sub>CO-Gly-OH was prepared by the solid-phase method and shown to promote hair follicle growth at a concentration of 100 nM.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 4 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:804442 HCAPLUS

DOCUMENT NUMBER: 145:187288

TITLE: Liquid chromatographic analysis of Cinchona alkaloids in beverages

AUTHOR(S): Horie, Masao; Oishi, Mitsuo; Ishikawa, Fusako; Shindo, Tetsuya; Yasui, Akiko; Ogino, Shuzo; Ito, Koichi

CORPORATE SOURCE: Department of Food Safety, Tokyo Metropolitan Institute of Public Health, 3-24-1 Hyakunin-cho, Shinjuku-ku, Tokyo, 169-0073, Japan

SOURCE: Journal of AOAC International (2006), 89(4), 1042-1047  
CODEN: JAINEE; ISSN: 1060-3271

PUBLISHER: AOAC International

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A method for the determination of Cinchona extract (whose main components are the alkaloids cinchonine, cinchonidine, quinidine, and quinine) in beverages by liquid chromatog. was developed. A beverage with an alc. content of more than 10% was loaded onto an OASIS HLB solid-phase extraction cartridge, after it was adjusted to pH 10 with 28% ammonium hydroxide. Other beverages were centrifuged at 4000 rpm for 5 min, and the supernatant was loaded onto the cartridge. The cartridge was washed with water followed by 15% methanol, and the Cinchona alkaloids were eluted with methanol. The Cinchona alkaloids in the eluate were chromatographed on an L-column ODS (4.6 mm id + 150 mm) with methanol and 20 mmol/L potassium dihydrogen phosphate (3 + 7) as the mobile phase. Cinchona alkaloids were monitored with an UV detector at 230 nm, and with a fluorescence detector at 405 nm for cinchonine and cinchonidine and 450 nm for quinidine and quinine (excitation at 235 nm). The calibration curves for Cinchona alkaloids with the UV detector showed good linearity in the range of 2-400 µg/mL. The detection limit of each Cinchona alkaloid, taken to be the concentration at which the absorption spectrum could be identified, was 2 µg/mL. The recovery of Cinchona alkaloids added at a level of 100 µg/g to various kinds of beverages was 87.6-96.5%, and the coeffs. of variation were less than 3.3%. A number of beverage samples, some labeled to contain bitter substances, were analyzed by the proposed method. Quinine was detected in 2 samples of carbonated beverage.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 5 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:761340 HCAPLUS

DOCUMENT NUMBER: 146:480867

TITLE: Solid phase extraction-liquid chromatography/mass spectrometry for simultaneous determination of artificial synthetic sulfa sweeteners in food

AUTHOR(S): Sheng, Xuan; Chen, Chang-jun; Ding, Zhen-hua; Sun, Jian-wen; Ding, Yuan-sheng; Zheng, Ping

CORPORATE SOURCE: Anhui Entry-Exit Inspection and Quarantine Bureau, Hefei, 230061, Peop. Rep. China

SOURCE: Fenxi Shiyanshi (2006), 25(7), 75-78

CODEN: FENSE4; ISSN: 1000-0720

PUBLISHER: Fenxi Shiyanshi Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB A rapid, simple and sensitive method for the simultaneous anal. of three sulfanilamide sweeteners in food was proposed. This method involved an ultrasonic extraction procedure followed by anion-exchange solid-phase extraction for clean-up, liquid chromatog.-mass spectrometry for separation and detection. The conditions of solid phase extraction were optimized, including extraction solution, eluting solvent and elution volume. The detection limits and extraction recovery were below 10pg and above 88%, resp. A good linear range from 0.01 to 50 µg/mL with correlation coefficient of above 0.9996 was also obtained. Because of wide quant. range and accurate results, this method could be used for the rapid detection of sulfa sweeteners in food.

L35 ANSWER 6 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:518237 HCAPLUS  
DOCUMENT NUMBER: 145:355109  
TITLE: Solid phase - HPLC for six conventional food additive  
AUTHOR(S): Chen, Chunzhu; Xie, Weiping; Zeng, Zhiding  
CORPORATE SOURCE: Quanzhou Center for Disease Control and Prevention, Quanzhou, Fujian, 362000, Peop. Rep. China  
SOURCE: Zhongguo Weisheng Jianyan Zazhi (2006), 16(1), 49-50, 101  
CODEN: ZWJZA7  
PUBLISHER: Zhongguo Weisheng Jianyan Zazhishe  
DOCUMENT TYPE: Journal  
LANGUAGE: Chinese

AB A determination method of 6 common food additives by solid phase extraction and high performance liquid chromatog. is established. All the food samples were purified by OASIS HLB solid phase extraction column, then determined by high performance liquid chromatog. with the bicomponent of ammonium acetate and methanol as mobile phase (acetonitrile was not used here for its high toxicity). Correlation coefficient  $r$  was more than 0.999, when determination of benzoic acid, sorbic acid and sodium saccharin was in the range of 1.0-100 µg/mL, and determination of Me p-hydroxybenzoate, ethylparaben and propylparaben was in the range of 1.0- 40 µg/mL. The min. detection limitation was, benzoic acid 0.10 µg/mL, sorbic acid 0.17 µg/mL, sodium saccharin 0.38 µg/mL, Me p-hydroxybenzoate 0.13 µg/mL, ethylparaben 0.17 µg/mL, and propylparaben 0.25 µg/mL, the recovery was more than 90%, and RSD was less than 5%. This determination method was fast and simple as well as easy to operate, it could pretreat and purify all kinds of food samples with a good stability and precision, and so it was an efficient determination method of the 6 common food additives.

L35 ANSWER 7 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:403034 HCAPLUS  
DOCUMENT NUMBER: 144:487425  
TITLE: Resolution of an intense sweetener mixture by use of a flow injection sensor with on-line solid-phase extraction  
AUTHOR(S): Capitan-Vallvey, L. F.; Valencia, M. C.; Nicolas, E. Arana; Garcia-Jimenez, J. F.  
CORPORATE SOURCE: Department of Analytical Chemistry, Faculty of Sciences, University of Granada, Granada, 18071, Spain  
SOURCE: Analytical and Bioanalytical Chemistry (2006), 385(2), 385-391  
CODEN: ABCNBP; ISSN: 1618-2642  
PUBLISHER: Springer  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB An integrated solid-phase spectrophotometry-FIA method is proposed for simultaneous determination of the mixture of saccharin (1,2-benzisothiazol-3(2H)-one-1,1-dioxide; E-954) (SA) and aspartame (N-L- $\alpha$ -aspartyl-L-phenylalanine-1-Me ester; E-951) (AS). The procedure is based on online preconcn. of AS on a C18 silica gel

minicolumn and separation from SA, followed by measurement, at  $\lambda=210$  nm, of the absorbance of SA which is transiently retained on the adsorbent Sephadex G-25 placed in the flow-through cell of a monochannel FIA setup using pH 3.0 orthophosphoric acid-dihydrogen phosphate buffer,  $3.75 \times 10^{-3}$  mol L<sup>-1</sup>, as carrier. Subsequent desorption of AS with methanol enables its determination at  $\lambda=205$  nm. With a sampling frequency of 10 h<sup>-1</sup>, the applicable concentration range, the detection limit, and the relative standard deviation were from 1.0 to 200.0  $\mu\text{g mL}^{-1}$ , 0.30  $\mu\text{g mL}^{-1}$ , and 1.0% (80  $\mu\text{g mL}^{-1}$ , n=10), resp., for SA and from 10.0 to 200.0  $\mu\text{g mL}^{-1}$ , 1.4  $\mu\text{g mL}^{-1}$ , and 1.6% (100  $\mu\text{g mL}^{-1}$ , n=10) for AS. The method was used to determine the amts. of aspartame and saccharin in sweets and drinks. Recovery was always between 99 and 101%. The method enabled satisfactory determination of blends of SA and AS in low-calorie and dietary products and the results were compared with those from an HPLC reference method.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 8 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:351358 HCAPLUS

DOCUMENT NUMBER: 145:355078

TITLE: Determination of cyclamate in foods by HPLC with electric conductivity detector without derivatization and systematically analysis of 7 sweeteners

AUTHOR(S): Matsumoto, Hiroko; Hagino, Kayo; Sakamaki, Narue; Kasuya, Yoko; Nagayama, Toshihiro

CORPORATE SOURCE: Tama Branch, Tokyo Metropolitan Instit, Tachikawa, 190-0023, Japan

SOURCE: Tokyo-to Kenko Anzen Kenkyu Senta Kenkyu Nenpo (2006), Volume Date 2005, 56, 153-156  
CODEN: TKAKC7; ISSN: 1348-9046

PUBLISHER: Tokyo-to Kenko Anzen Kenkyu Senta

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB The anal. methods for sodium cyclamate and seven sweeteners in food were developed using HPLC with an elec. conductivity detector. The sodium cyclamate containing sample was dialyzed, and followed by extraction with Et acetate. The solvent extraction was effective to remove interfering substances, and gave an adequate sensitivity in the HPLC system. The cyclamate recovery was 90.1% in average from 8 food samples added the cyclamate. The detection limit of cyclamate was 0.0025 kg/kg, and it was superior to that of conventional methods. The sample containing 7 sweeteners such as dulcin, aspartame, saccharin, acesulfame-K, sucralose, alitame and cyclamate was dialyzed and the outer solution was separated to 5 groups for cyclamate, dulcin, aspartame and alitame, saccharin and acesulfame-K, and sucralose. The sweeteners in 5 groups were concentrated by Et acetate extraction (cyclamate), solid-phase extraction (dulcin, aspartame and alitame, sucralose) other than the saccharin and acesulfame-K group, resp. The seven sweeteners were successfully separated and determined by the systematic anal.

L35 ANSWER 9 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:71170 HCAPLUS

DOCUMENT NUMBER: 140:252493

TITLE: Flow-through spectrophotometric sensor for the determination of saccharin in low-calorie products

AUTHOR(S): Capitan-Vallvey, L. F.; Valencia, M. C.; Nicolas, E. Arana

CORPORATE SOURCE: Department of Analytical Chemistry, Faculty of Science, University of Granada, Granada, E-18071, Spain

SOURCE: Food Additives & Contaminants (2004), 21(1), 32-41  
CODEN: FACOEB; ISSN: 0265-203X

PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A simple, rapid and inexpensive monoparameter flow-through sensor was developed for the determination of saccharin in low calorie and dietary products. The method is based on the transient adsorption of the sweetener on Sephadex G-25 solid phase packed to a height of 20 mm in the flow cell. The optimal transient retention of the synthetic sweetener, in terms of sensitivity and sampling frequency, was obtained when pH 2.75 citric acid-sodium citrate buffer  $5 + 10^{-3}$  M was used as a carrier at a flow-rate of 1.5 mL min<sup>-1</sup>. Saccharin was determined measuring its intrinsic absorbance at 217 nm at its residence time. Calibration graphs for peak height and peak area were linear over the range 5.0-200.0 µg mL<sup>-1</sup>, RSD 1.18%, and 1.0-200.0 µg mL<sup>-1</sup>, RSD 0.78%, resp. Saccharin was determined in several food samples measuring height or area peak, obtaining recoveries ranging between 98-104 and 99-102% for height and area peak, resp. The procedure was validated for use in the determination of saccharin in low calorie and dietary products giving reproducible and accurate results.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 10 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:810249 HCAPLUS

DOCUMENT NUMBER: 140:41840

TITLE: Tablets of functionalized polystyrene beads alone and in combination with solid reagents or catalysts. preparation and applications in parallel solution and solid phase synthesis

AUTHOR(S): Ruhland, Thomas; Holm, Per; Andersen, Kim

CORPORATE SOURCE: Department of Medicinal Chemistry II, Medicinal Chemistry Research, H. Lundbeck A/S, Valby, DK 2500, Den.

SOURCE: Journal of Combinatorial Chemistry (2003), 5(6), 842-850

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:41840

AB Pretreatment of polystyrene beads with a nonpolar organic solvent is the key for the generation of mech. robust tablets consisting of neat functionalized polystyrene beads, both alone and in combination with solid reagents or catalysts. The novel dosing methodol. provides accurately preweighed tablets in virtually any shape and size and with excellent disintegration properties, speeding up parallel solution and solid phase synthesis. The use of tablets is demonstrated in parallel Mitsunobu and acylation reactions.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 11 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:719437 HCAPLUS

DOCUMENT NUMBER: 139:235461

TITLE: Multiple-component solid phases containing at least one active pharmaceutical ingredient

INVENTOR(S): Zaworotko, Michael J.; Moulton, Brian; Rodriguez-Hornedo, Nair

PATENT ASSIGNEE(S): University of South Florida, USA; University of Michigan

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 18

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074474	A2	20030912	WO 2003-US6662	20030303
WO 2003074474	A3	20031218		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2477923	A1	20030912	CA 2003-2477923	20030303
AU 2003213719	A1	20030916	AU 2003-213719	20030303
EP 1494998	A2	20050112	EP 2003-711407	20030303
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005519112	T	20050630	JP 2003-572946	20030303
CA 2514733	A1	20040916	CA 2004-2514733	20040226
WO 2004078163	A2	20040916	WO 2004-US6288	20040226
WO 2004078163	A3	20050120		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1631260	A2	20060308	EP 2004-715190	20040226
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2007524596	T	20070830	JP 2006-508979	20040226
US 2006140985	A1	20060629	US 2005-541703	20050708
US 2007059356	A1	20070315	US 2005-546963	20050826
PRIORITY APPLN. INFO.:			US 2002-360768P	P 20020301
			US 2002-384152P	P 20020531
			US 2002-390881P	P 20020621
			US 2002-426275P	P 20021114
			US 2002-427086P	P 20021115
			US 2002-429515P	P 20021126
			US 2002-437516P	P 20021230
			US 2003-439282P	P 20030110
			US 2003-439283P	P 20030110
			US 2003-444315P	P 20030131
			US 2003-451213P	P 20030228
			WO 2003-US306662	A 20030303
			WO 2003-US6662	W 20030303
			US 2003-456027P	P 20030318
			US 2003-463962P	P 20030418
			US 2003-449307	A 20030530
			US 2003-601092	A 20030620
			WO 2003-US19574	A 20030620
			WO 2003-US319574	A 20030620
			US 2003-487064P	P 20030711
			WO 2003-US27772	A 20030904
			WO 2003-US327772	A 20030904
			US 2003-660202	A 20030911
			US 2003-508208P	P 20031002
			WO 2003-US341273	A 20031224



WO 2003-US41273      A    20031224  
WO 2004-US400        W    20040108  
US 2004-542752P      P    20040206  
WO 2004-US6288       W    20040226

AB    A method for identifying complementary chemical functionalities to form a desired supramol. synthon is described. A multiple-component phase compns. comprising one or more pharmaceutical entities and methods for producing such compns. are provided. A pharmaceutical mol. is sustained by a supramol. homosynthon when the pharmaceutical mol. is in its pure phase. The multiple-component phase composition has at least one phys. or chemical property, e.g., stability, solubility, dissoln., bioavailability, crystal morphol., and hygroscopicity, that is the same as that of the pharmaceutical mol. in its pure phase. For example, slow evaporation of mixture containing 25 mg of carbamazepine and 12 mg of nicotinamide dissolved in 4 mL DMSO, MeOH, or EtOH yielded colorless needles of a 1:1 carbamazepine/nicotinamide co-crystals. Using a sep. method, 25 mg of carbamazepine and 12 mg of nicotinamide were ground yielding the solid made of 1:1 carbamazepine/nicotinamide microcrystals.

L35   ANSWER 12 OF 35   HCAPLUS   COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:      2002:887346   HCAPLUS

DOCUMENT NUMBER:      139:106582

TITLE:                   Simultaneous determination of paracetamol and caffeine by flow injection-solid phase spectrometry using C18 silica gel as a sensing support  
AUTHOR(S):               Ortega-Barrales, P.; Padilla-Weigand, R.; Molina-Diaz, A.

CORPORATE SOURCE:      Department of Physical and Analytical Chemistry, Faculty of Experimental Sciences, University of Jaen, Jaen, E-23071, Spain

SOURCE:                Analytical Sciences (2002), 18(11), 1241-1246  
CODEN: ANSCEN; ISSN: 0910-6340

PUBLISHER:              Japan Society for Analytical Chemistry

DOCUMENT TYPE:        Journal

LANGUAGE:              English

AB    A continuous and simple UV-photometric flow-through biparameter-sensing device has been developed for the simultaneous determination of paracetamol and caffeine at 275 nm. The sensor is based on temporary sequentiation in the arrival of the analytes to the sensing zone by online separation using C18 bonded phase beads (the same as that used in the sensing zone) placed into a minicolumn just before the flow cell. The sample containing these compds. is injected into the carrier solution; paracetamol is determined first because it passes through the minicolumn, while caffeine is strongly retained in it. Then, caffeine is conveniently eluted from the precolumn and develops its transitory signal. Using 200 µl of a sample and deionized water as a carrier, the anal. signal showed a very good linearity in the ranges of 10 - 160 µg ml<sup>-1</sup> and 3.5 - 50 µg ml<sup>-1</sup> with detection limits of 0.75 and 0.56 µg ml<sup>-1</sup> for paracetamol and caffeine, resp. If deionized water with the pH adjusted at 12 was used as a carrier solution, these parameters were 25 - 400 and 4 - 55 µg ml<sup>-1</sup> with 2.0 and 0.50 µg ml<sup>-1</sup> as the detection limits, resp. The biparameter optosensor was satisfactorily applied to the simultaneous determination of these two analytes in pharmaceuticals.

REFERENCE COUNT:      34        THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35   ANSWER 13 OF 35   HCAPLUS   COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:      2002:288859   HCAPLUS

DOCUMENT NUMBER:      137:99109

TITLE:                   Use of a continuous flow solid-phase spectroscopic sensor using two sensing zones: determination of thiamine and ascorbic acid

AUTHOR(S):               Ruiz-Medina, Antonio; Ortega-Barrales, Pilar; Fernandez-De Cordova, Maria Luisá; Molina-Diaz,

Antonio  
CORPORATE SOURCE: Faculty of Experimental Sciences, Department of  
Physical and Analytical Chemistry, University of Jaen,  
Jaen, 23071, Spain  
SOURCE: Journal of AOAC International (2002), 85(2), 369-374  
CODEN: JAINEE; ISSN: 1060-3271  
PUBLISHER: AOAC International  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB A simple, rapid, inexpensive, and automated flow-through solid-  
phase spectroscopic sensing device was proposed for the sequential  
determination of 2 vitamins: thiamine and ascorbic acid. The vitamins are concentrated  
on ion-exchange gels, thiamine on Sephadex SP C-25, and ascorbic acid on  
Sephadex QAE A-25; both solid supports are packed in 2 different flow  
cells. The absorbance was monitored directly on the solid  
phase with a double-beam spectrophotometer at 250 nm, without  
derivatization or addnl. elution. With the use of 2 carrier/self-eluting  
solns. (0.15M sodium acetate/acetic acid and 0.18M citric acid/K<sub>2</sub>HPO<sub>4</sub>) and  
a sample volume of 1000 µL, the sensor responds linearly in the range of  
0.5-15 and 3-50 µg/mL with detection limits of 0.14 and 0.36 µg/mL  
for thiamine and ascorbic acid, resp. When the method was applied to  
synthetic samples and pharmaceutical preps., precise and accurate values  
were obtained.  
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT  
L35 ANSWER 14 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2001:283073 HCAPLUS  
DOCUMENT NUMBER: 135:4665  
TITLE: HPLC determination of benzoic acid and sorbic acid in  
solid food after solid phase  
extraction  
AUTHOR(S): Xie, Weiping; Lai, Xiaohong  
CORPORATE SOURCE: Quanzhou Hygienic and Anti-Epidemic Station, Quanzhou,  
362000, Peop. Rep. China  
SOURCE: Zhongguo Gonggong Weisheng (2000), 16(6), 550-551  
CODEN: ZGWEE3; ISSN: 1001-0580  
PUBLISHER: Zhongguo Gonggong Weisheng Zazhishe  
DOCUMENT TYPE: Journal  
LANGUAGE: Chinese  
AB The HPLC determination of benzoic acid and sorbic acid in solid food was studied  
after solid phase extraction The anal. conditions were as  
follows: Beckdman C18 column (250 mm x 4.6 mm internal diameter, 5 µm),  
0.2M NH<sub>4</sub>OAc-methanol as mobile phase, and detection wavelength at 230 nm.  
The linear range was 0-100 mg/L; the relative standard deviation was 3.2-4.0%  
and the recovery was 96-104%.  
L35 ANSWER 15 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2001:218896 HCAPLUS  
DOCUMENT NUMBER: 135:112083  
TITLE: Flow-through UV spectrophotometric sensor for  
determination of (acetyl)salicylic acid in  
pharmaceutical preparations  
AUTHOR(S): Ruiz-Medina, A.; Fernandez-de Cordova, M. L.;  
Ortega-Barrales, P.; Molina-Diaz, A.  
CORPORATE SOURCE: Department of Physical and Analytical Chemistry,  
Faculty of Experimental Sciences, University of Jaen,  
Jaen, 23071, Spain  
SOURCE: International Journal of Pharmaceutics (2001),  
216(1-2), 95-104  
CODEN: IJPHDE; ISSN: 0378-5173  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The solid phase spectrophotometry technique, in which the absorbance of the species of interest sorbed on a solid support is measured directly, was applied to the determination of salicylic acid using flow injection-anal. Salicylic acid was determined by monitoring of its intrinsic absorbance at 297 nm sorbed on Sephadex QAE A-25 resin placed in an appropriate flow-through cell. The method proposed improves the selectivity compared with the corresponding solution-phase method and the sensitivity is increased by a factor of 30 or more. The flow-through sensor proposed allows working with several calibration lines simply by varying the sample volume injected. Thus, linear dynamic ranges from 1 to 20 and from 2 to 40  $\mu\text{g ml}^{-1}$  can be obtained by using 1000 and 300  $\mu\text{l}$ , resp., with detection limits being 0.064 and 0.135  $\mu\text{g ml}^{-1}$ . Relative Standard Deviations (RSDs) of 0.52 and 0.38%, and sampling frequencies of 18 and 25  $\text{h}^{-1}$ , resp., were also achieved. The sensor also allows the indirect determination of acetylsalicylic acid previous hydrolysis online to salicylic acid. For acetylsalicylic acid, a linear dynamic range from 5 to 120  $\mu\text{g ml}^{-1}$  and 25  $\text{h}^{-1}$  of sampling frequency (300  $\mu\text{l}$  of sample volume) were obtained. The proposed flow-through sensor has been successfully applied to the determination of both analytes in pharmaceutical preps.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 16 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:594605 HCAPLUS

DOCUMENT NUMBER: 133:295518

TITLE: Simultaneous determination of sweeteners and preservatives in preserved fruits by micellar electrokinetic capillary chromatography

AUTHOR(S): Lin, Yu H.; Chou, Shin S.; Sheu, Fuu; Shyu, Yuan T.

CORPORATE SOURCE: Department of Health, National Laboratories of Foods and Drugs, Taipei, Taiwan

SOURCE: Journal of Chromatographic Science (2000), 38(8), 345-352

CODEN: JCHSBZ; ISSN: 0021-9665

PUBLISHER: Preston Publications

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A micellar electrokinetic capillary method for the simultaneous determination of the sweeteners dulcin, aspartame, saccharin, and acesulfame-K and the preservatives sorbic acid; HOBz; Na dehydroacetate; and Me-, Et-, propyl-, isopropyl-, butyl-, and isobutyl-p-hydroxybenzoate in preserved fruits is developed. These additives are ion-paired and extracted using sonication followed by solid-phase extraction from the sample. Separation is achieved using a 57-cm fused-SiO<sub>2</sub> capillary with a buffer comprised of 0.05M Na deoxycholate, 0.02M borate-phosphate buffer (pH 8.6), and 5% MeCN, and the wavelength for detection is 214 nm. The average recovery rate for all sweeteners and preservatives is .apprx.90% with good reproducibility, and the detection limits range from 10 to 25  $\mu\text{g/g}$ . Fifty preserved fruit samples are analyzed for the content of sweeteners and preservatives. The sweeteners found in 28 samples was aspartame (0.17-11.59 g/kg) or saccharin (0.09-5.64 g/kg). HOBz (0.02-1.72 g/kg) and sorbic acid (0.27-1.15 g/kg) were found as preservatives in 29 samples. (c) 2000 Preston Publications.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 17 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:504232 HCAPLUS

DOCUMENT NUMBER: 133:286565

TITLE: Selective determination of pyridoxine in the presence of hydrosoluble vitamins using a continuous-flow solid phase sensing device with UV detection

AUTHOR(S): Ayora Canada, M. J.; Pascual Reguera, M. I.; Molina Diaz, A.  
CORPORATE SOURCE: Paraje Las Lagunillas, Faculty of Experimental Sciences, Department of Physical and Analytical Chemistry, University of Jaen, Jaen, E-23071, Spain  
SOURCE: International Journal of Pharmaceutics (2000), 202(1-2), 113-120  
CODEN: IJPHDE; ISSN: 0378-5173  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A very simple, inexpensive and highly selective flow injection UV spectrophotometric method for the determination of vitamin B6 is presented. The native absorbance of the analyte is continuously monitored at 290 nm when it is transiently retained on Sephadex SP C-25 cation exchanger gel beads placed in the detection area of a flow cell. The preconcn. on the active solid phase provides by itself a high increase in sensitivity compared with the same procedure carried out without a solid support. The anal. response is linear in the concentration ranges 1-10 and 2-20 µg ml<sup>-1</sup> using 600 and 1250 µl of sample, resp. The R.S.D. (%) are 0.65 (600 µl) and 0.84 (1250 µl) and the detection limits 0.08 and 0.02 µg ml<sup>-1</sup>, resp. The procedure was successfully applied to the determination of vitamin B6 in pharmaceuticals containing (among other active principles) hydrosol. vitamins in much higher concns. than that tolerated by the method if performed in aqueous solution. Nevertheless they were tolerated using the proposed sensor due to the selective retention of the analyte.  
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 18 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:5895 HCAPLUS  
DOCUMENT NUMBER: 132:171226  
TITLE: A simple solid phase spectrofluorimetric method combined with flow analysis for the rapid determination of salicylamide and salicylic acid in pharmaceutical samples  
AUTHOR(S): Ruiz Medina, A.; Fernandez de Cordova, M. L.; Molina Diaz, A.  
CORPORATE SOURCE: Paraje Las Lagunillas, Faculty of Experimental Sciences, Department of Physical and Analytical Chemistry, University of Jaen, Jaen, E-23071, Spain  
SOURCE: Fresenius' Journal of Analytical Chemistry (1999), 365(7), 619-624  
CODEN: FJACES; ISSN: 0937-0633  
PUBLISHER: Springer-Verlag  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A new, sensitive and very simple spectrofluorimetric biparameter sensor is described for the determination of salicylamide and/or salicylic acid in pharmaceutical preps. The method integrates the transitory retention and fluorescence detection of both compds. on Sephadex QAE A-25 resin packed into a conventional flow-through cell. A monochannel manifold with two alternative carriers is used. At pH 2.0 (first carrier) salicylic acid is selectively retained on the solid support and after developing the anal. signal it is desorbed. At pH 11.0 (second carrier) both salicylic acid and salicylamide are simultaneously and transitorily retained on the solid, the anal. signal now corresponding to both analytes. The monochromators were tuned at 260 (excitation) and 415 (emission) nm, resp. The calibration graph for salicylamide is linear over the range 0.01 to 0.32 µg mL<sup>-1</sup> and for salicylic acid from 0.04 to 1.0 µg mL<sup>-1</sup> in the presence of each other. The relative standard deviation and the sampling frequency for the determination of salicylamide (0.20 µg mL<sup>-1</sup>) and salicylic acid (0.50 µg mL<sup>-1</sup>) were 1.1% and 35 h<sup>-1</sup>, and 0.9% and 45 h<sup>-1</sup>, resp. Good results on application to individual determination or mixture resolution in

pharmaceutical samples testify to the usefulness of the proposed sensor.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 19 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:753992 HCAPLUS

DOCUMENT NUMBER: 132:171216

TITLE: A flow-through solid phase UV  
spectrophotometric biparameter sensor for the  
sequential determination of ascorbic acid and  
paracetamol

AUTHOR(S): Ruiz-Medina, A.; Fernandez-de Cordova, M. L.;  
Ayora-Canada, M. J.; Pascual-Reguera, M. I.;  
Molina-Diaz, A.

CORPORATE SOURCE: Faculty of Experimental Sciences, Department of  
Physical and Analytical Chemistry, University of Jaen,  
Jaen, 23071, Spain

SOURCE: Analytica Chimica Acta (2000), 404(1), 131-139  
CODEN: ACACAM; ISSN: 0003-2670

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB For the first time, a continuous flow system with solid  
phase UV spectrophotometric detection (an optosensor) is described  
for the sequential determination of two analytes based on the alternate use of two  
carrier/self-eluting agents. The selective and sequential sorption of  
both on an active solid support (an anion exchanger gel placed in the  
detection zone into an appropriate quartz flow cell) is performed and  
their resp. UV intrinsic absorbances monitored. Each carrier itself  
elutes the resp. analyte from the solid support, so regenerating the  
sensing zone. Ascorbic acid and paracetamol in concns. ranging from 0.3  
to 20 µg ml<sup>-1</sup> and from 0.4 to 25 µg ml<sup>-1</sup>, resp., could be determined with  
this UV flow-through optosensor using sodium acetate/acetic acid (pH 5.6)  
and 0.05 M NaCl (pH 12.5), resp. as carrier/self-eluting solns. and  
Sephadex QAE A-25 anion exchanger gel as solid phase  
placed in the inner of an 1 mm optical path length quartz flow cell. The  
RSDs % (n = 10) were lower than 1.3 (for ascorbic acid) and than 1.5 (for  
paracetamol). Detection limits (criterion 3σ) as low as 0.02 µg  
ml<sup>-1</sup> were achieved in both cases. Application to the anal. of  
pharmaceutical samples (in addition to synthetic ones) testifies the utility  
of this sequential sensor, which tolerates amts. of the species usually  
accompanying the analytes much higher than those ones found in these  
samples.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 20 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:451679 HCAPLUS

DOCUMENT NUMBER: 131:189801

TITLE: A very simple resolution of the mixture paracetamol  
and salicylamide by flow injection-solid  
phase spectrophotometry

AUTHOR(S): Ruiz Medina, A.; Fernandez de Cordova, M. L.; Molina  
Diaz, A.

CORPORATE SOURCE: Faculty of Experimental Sciences, Department of  
Physical and Analytical Chemistry, University of Jaen,  
Jaen, E-23071, Spain

SOURCE: Analytica Chimica Acta (1999), 394(2-3), 149-158  
CODEN: ACACAM; ISSN: 0003-2670

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A continuous and simple multi-parameter sensor for the sequential determination of  
salicylamide and paracetamol by solid-phase UV

spectrophotometry is described. The sample containing these compds. is injected and then they are concentrated online on to an anionic exchanger (Sephadex QAE A-25) packed in a flow-through cell and its absorbance measured continuously at 300 nm. The calibration graphs at 300 nm are linear over the range 2.5-40 µg ml<sup>-1</sup> for paracetamol and 5-80 µg ml<sup>-1</sup> for salicylamide in the presence of each other; the relative standard deviations were 0.60 and 0.36%, resp., and the sampling frequency of 36 h<sup>-1</sup>. Mixts. of salicylamide and paracetamol in ratios between 1 : 5 and 5 : 1 were satisfactorily resolved. The proposed simultaneous method was applied to the determination of these compds. in pharmaceutical preps. The procedure does not require any separation step and the sensor can be regenerated by the carrier itself. This is the first UV multi-parameter sensor showing these features.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 21 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:405750 HCAPLUS

DOCUMENT NUMBER: 131:225790

TITLE: Profiling of organic acids by capillary gas chromatography-mass spectrometry after direct methylation in urine using trimethyloxonium tetrafluoroborate

AUTHOR(S): Liebich, H. M.; Gesele, E.

CORPORATE SOURCE: Medizinische Universitätsklinik, Tübingen, D-72076, Germany

SOURCE: Journal of Chromatography, A (1999), 843(1 + 2), 237-245

CODEN: JCRAEY; ISSN: 0021-9673

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Trimethyloxonium tetrafluoroborate (TMO) is applied as derivatizing reagent to transform urinary organic acids into their Me esters. The method is suggested as an alternative to the use of diazomethane which is carcinogenic and explosive. In contrast to other methods avoiding diazomethane, such as derivatizations with acetyl chloride-methanol and boron trifluoride-methanol, which require an organic reaction medium and therefore an extraction of the organic acids from the urine, TMO efficiently reacts with the acids in an aqueous solution and can therefore be directly applied to native urine. The use of TMO simplifies and improves the sample preparation in the profile anal. of urinary organic acids by capillary GC-MS and hereby increases the speed of anal. The method gives reproducible results which are comparable with the data obtained using conventional solid-phase extraction with strong anion-exchange cartridges prior to derivatization.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 22 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:307627 HCAPLUS

DOCUMENT NUMBER: 130:324446

TITLE: Simultaneous determination of five sweeteners in foods by HPLC

AUTHOR(S): Kobayashi, Chigusa; Nakazato, Mitsuo; Ushiyama, Hirofumi; Kawai, Yuka; Tateishi, Yukinari; Yasuda, Kazuo

CORPORATE SOURCE: Tokyo Metrop. Res. Lab. Public Health, Tokyo, 169-0073, Japan

SOURCE: Shokuhin Eiseigaku Zasshi (1999), 40(2), 166-171

CODEN: SKEZAP; ISSN: 0015-6426

PUBLISHER: Nippon Shokuhin Eisei Gakkai

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB A simple method for the simultaneous determination of five artificial sweeteners, alitame (AL), acesulfame K (AK), saccharin (SA), aspartame (APM), and dulcin (DU) in various foods by high performance liquid chromatog. (HPLC) was developed. Chopped or homogenized samples were packed into cellulose tubing with 0.01 mol/L hydrochloric acid containing 10% sodium chloride, and dialyzed against 0.01 mol/L hydrochloric acid for 24-48 h. Tetra-n-butylammonium bromide and pH 5.0 phosphate buffer were added to the dialyzate. The solution was passed through a Sep-Pak Vac C18 cartridge, and the cartridge was washed with water and a mixture of methanol-water (1:9). The five sweeteners were eluted from the cartridge with a mixture of methanol-water (45:55). The sweeteners were separated on an Inertsil ODS-2 column with a mobile phase of methanol-water (1:3) containing 0.01 mol/L tetra-n-propylammonium hydroxide adjusted to pH 3.5 with phosphoric acid and were detected at 210 nm. The recoveries of the five sweeteners from various kinds of foods spiked at 200µg/g ranged from 77-102%. The detection limits of the five sweeteners were 10µg/g in the samples.

L35 ANSWER 23 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:193188 HCAPLUS  
DOCUMENT NUMBER: 130:296632  
TITLE: Solid-phase synthesis of  
benzisothiazolones as serine protease inhibitors  
AUTHOR(S): Yu, Kuo-Long; Civiello, Rita; Roberts, Daniel G. M.;  
Seiler, Steven M.; Meanwell, Nicholas A.  
CORPORATE SOURCE: Department of Chemistry, Bristol-Myers Squibb  
Pharmaceutical Research Institute, Wallingford, CT,  
06492, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(5),  
663-666  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB An efficient solid-phase synthesis of  
benzisothiazolone 1,1-dioxide-based serine protease inhibitors involving  
alkylation of carboxylic acids with N-(bromomethyl)benzisothiazolone  
1,1-dioxide has been developed. An example using this procedure for  
preparation of a library of human mast cell tryptase inhibitors is described.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

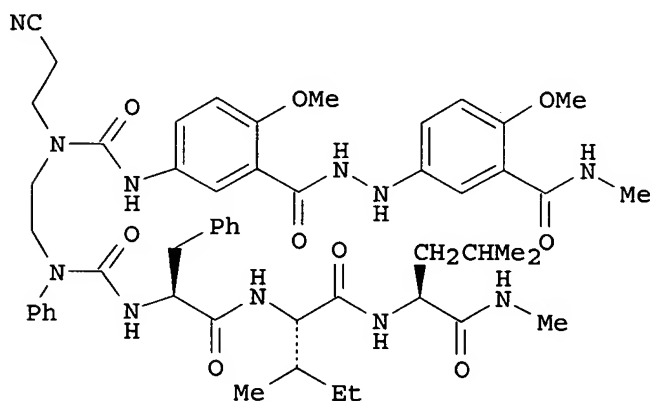
L35 ANSWER 24 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:112272 HCAPLUS  
DOCUMENT NUMBER: 130:310822  
TITLE: Simultaneous liquid chromatographic determination of  
eight kinds of preservatives and sodium saccharin in  
foods  
AUTHOR(S): Okayama, Akiko; Tanaka, Ken; Tamaki, Morohito  
CORPORATE SOURCE: Nara Prefect. Inst. Public Health, Nara, 630-8131,  
Japan  
SOURCE: Nippon Shokuhin Kagaku Gakkaishi (1998), 5(2), 153-158  
CODEN: NSKGF4; ISSN: 1341-2094  
PUBLISHER: Nippon Shokuhin Kagaku Gakkai  
DOCUMENT TYPE: Journal  
LANGUAGE: Japanese

AB A method for the simultaneous anal. of 8 kinds of preservatives and sodium  
saccharin (SacNa) in food products using ion-pair HPLC was developed. The  
preservatives of interest were dehydroacetic acid (DHA), sorbic acid  
(SoA), benzoic acid (BA), Et p-hydroxybenzoate (Et-PHBA), Pr  
p-hydroxybenzoate (n-Pro-PHBA), iso-Pr p-hydroxybenzoate (iso-Pr-PHBA), Bu  
p-hydroxybenzoate (n-Bu-PHBA) and iso-Bu p-hydroxybenzoate (iso-Bu-PHBA).  
These food additives were separated on an Inertsil ODS-2 column (150 +  
4.6 mm I.D.) using 50 mM monosodium dihydrogen phosphate-acetonitrile  
solution (66:34) containing 2 mM cetyltrimethylammonium bromide as the mobile

phase and detected with a photodiode array detector at 305 nm for DHA, 254 nm for SoA, Et-PHBA, n-Pr PHBA, iso-Pr-PHBA, n-Bu-PHBA and iso-Bu-PHBA, and 230 nm for BA and SacNa. Comparison of the measured spectra with reference spectra allowed qual. anal. The combination of dialysis extraction and liquid extraction with organic solvent is often used for pretreatment. However, purification with large amts. of organic solvents after dialysis extraction is a serious concern in terms of industrial hygiene. In addition, the use of many types of anal. instruments causes operational complexity. For these reasons, a new method for concentrating and purifying dialysis exts. was developed. A comparison of 4 types of mini-columns revealed the Sep-Pak PS-2 column to have the best retainability. Ten ml of methanol was used as the eluent from this column. When 3, 0.5 and 0.01 g/kg of standard substances were added to the samples, excellent average recoveries of 99.1% were achieved. The results obtained from 21 samples, preservatives of SacNa being detected were in excellent agreement with the values of dialysis gas chromatog. Thus, combination of dialysis extraction and solid phase extraction facilitates handling of many samples of various types, reducing the work load and the amts. of organic solvents required.

L35 ANSWER 25 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:528751 HCAPLUS  
 DOCUMENT NUMBER: 127:176699  
 TITLE: Solid-Phase Synthesis of Artificial  $\beta$ -Sheets  
 AUTHOR(S): Holmes, Darren L.; Smith, Eric M.; Nowick, James S.  
 CORPORATE SOURCE: Department of Chemistry, University of California, Irvine, CA, 92697-2025, USA  
 SOURCE: Journal of the American Chemical Society (1997), 119(33), 7665-7669  
 CODEN: JACSAT; ISSN: 0002-7863  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB The solid-phase syntheses of artificial  $\beta$ -sheets, e.g. I, which mimic the structure and hydrogen-bonding patterns of protein  $\beta$ -sheets is described. In these compds., mol. templates induce  $\beta$ -sheet structures in attached peptide strands. The templates consist of di- and triurea derivs., which hold peptide and peptidomimetic strands in proximity, and  $\beta$ -strand mimics, which hydrogen bond to the peptide strands. The syntheses involve constructing the "lower" peptide strand on Merrifield resin, attaching the di- or triamine portions of the di- or triurea templates, connecting the "upper" peptide and



peptidomimetic strands, and cleaving the resulting artificial  $\beta$ -sheets from the resin. The artificial  $\beta$ -sheets were prepared in 8-13 steps from leucine Merrifield in 33-67% overall yield.

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 26 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:481228 HCAPLUS

DOCUMENT NUMBER: 121:81228

TITLE: Determination of saccharin in shrimp by ion chromatography and capillary gas chromatography-mass spectrometry

AUTHOR(S): Heitkemper, Douglas T.; Jackson, David S.; Kaine, Lisa A.; Mulligan, Kevin A.; Wolnik, Karen A.

CORPORATE SOURCE: US Food and Drug Administration, National Forensic Chemistry Center, Cincinnati, OH, 45202, USA

SOURCE: Journal of Chromatography, A (1994), 671(1-2), 323-9  
CODEN: JCRAEY; ISSN: 0021-9673

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A procedure is described for the detection, identification and determination of saccharin in shrimp. Undeclared use of this regulated substance has been noted. Shrimp is extracted with water, and the extract is treated with a C18 solid-phase extraction cartridge and a chloride removal cartridge. The method detection limit is 2  $\mu\text{g/g}$  saccharin in shrimp. Recovery of a 16  $\mu\text{g/g}$  saccharin spike averaged 91%. The identity of saccharin is confirmed by gas chromatog.-mass spectrometry of the Me derivative which is prepared using an on-column methylating agent.

L35 ANSWER 27 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:601934 HCAPLUS

DOCUMENT NUMBER: 119:201934

TITLE: Solid-phase extraction in the determination of sweeteners in foods by HPLC

AUTHOR(S): Lehr, M.; Schmid, W.

CORPORATE SOURCE: Inst. Pharm. Lebensmittelchem., Ludwig-Maximilians-Univ., Munich, W-8000/2, Germany

SOURCE: Deutsche Lebensmittel-Rundschau (1993), 89(2), 43-5  
CODEN: DLRUAJ; ISSN: 0012-0413

DOCUMENT TYPE: Journal

LANGUAGE: German

AB Saccharin, acesulfame, and cyclamate were quant. recovered from standard aqueous solns. by solid-phase extraction with amino-based anion-exchange columns. For aspartame, however, octadecyl columns were required, where average recoveries of 90% were observed. For the determination of cyclamate in cherry nectar, yogurt, chocolate, mayonnaise or pickled cucumber brine according to the authors' previous HPLC method, no matrix interferences were observed, thus indicating that solid-phase extraction was unnecessary. For the determination of the other sweeteners in these foods by another published isocratic HPLC method, however, some matrix effects were observed (e.g. saccharin and acesulfame in chocolate, aspartame in pickling solution) which were only partially eliminated by previous solid-phase extraction

L35 ANSWER 28 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:590402 HCAPLUS

DOCUMENT NUMBER: 117:190402

TITLE: Determination of additives in wine by high-performance liquid chromatography

AUTHOR(S): Calull, M.; Marce, R. M.; Sanchez, G.; Borrull, F.

CORPORATE SOURCE: Dep. Quim., Univ. Barcelona, Tarragona, 43005, Spain

SOURCE: Journal of Chromatography (1992), 607(2), 339-47

CODEN: JOCRAM; ISSN: 0021-9673

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Two methods for determining additives in wine samples by reversed-phase HPLC with UV-visible detection (Spherisorb ODS-2 column) were studied. One method used gradient elution with MeCN and pH 3 HOAc for the separation of the different additives in a short time (<12 min). Before the injection of the sample, a solid-phase extraction with LC-SAX cartridges was used to obtain better results when a red wine was analyzed. The other method effected the separation of these compds. by isocratic elution with cetyltrimethylammonium bromide (CTAB) as an ion-pair reagent (35% MeCN, 10% phosphate-acetate buffer, 2 mM CTAB at pH 5.5), without sample pretreatment. Relative standard deviations for repeatability and reproducibility were 1.8-4 and 2.5-5%, resp., with the use of LC-SAX cleanup. Detection limits were 0.5 ppm, and 3 ppm for ascorbic acid.

L35 ANSWER 29 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:400277 HCAPLUS

DOCUMENT NUMBER: 117:277

TITLE: Mechanism of allergic cross-reactions. I. Multispecific binding of ligands to a mouse monoclonal anti-DNP IgE antibody

AUTHOR(S): Varga, Janos M.; Kalchschmid, Gertrud; Klein, Georg F.; Fritsch, Peter

CORPORATE SOURCE: Dep. Dermatol., Univ. Innsbruck, Innsbruck, 6020, Austria

SOURCE: Molecular Immunology (1991), 28(6), 641-54  
CODEN: MOIMD5; ISSN: 0161-5890

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A recently developed solid-phase binding assay was used to investigate the specificity of ligand binding to a mouse monoclonal anti-dinitrophenyl IgE (I). All DNP-amino acids, that were tested inhibited the binding of the radio-labeled I to DNP covalently attached to polystyrene microplates; however, the concentration for 50% inhibition varied within four orders of magnitude, DNP-L-serine being the most and DNP-L-proline the least potent inhibitor. In addition to DNP analogs, a large number of drugs and other compds. were tested for their ability to compete with DNP for the binding site of I. At the concentration used for screening, 59% of compds. had no significant inhibition; 19% inhibited the binding of I more than 50%. Several families of compds. (tetracyclines, polymyxins, phenothiazines, salicylates, and quinones) that were effective competitors were found. Within these families, changes in the functional groups attached to the family stem had major effects on the affinity of ligand binding. The occurrence frequencies of interactions of ligands with I is in good agreement with the semi-empirical model for multispecific antibody-ligand interactions.

L35 ANSWER 30 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:39888 HCAPLUS

DOCUMENT NUMBER: 116:39888

TITLE: Solid-phase extraction of the preservatives sorbic acid and benzoic acid and the artificial sweeteners aspartame and saccharin

AUTHOR(S): Moors, M.; Teixeira, C. R. R. R.; Jimidar, M.; Massart, D. L.

CORPORATE SOURCE: Pharm. Inst., Vrije Univ. Brussel, Brussels, B-1090, Belg.

SOURCE: Analytica Chimica Acta (1991), 255(1), 177-86  
CODEN: ACACAM; ISSN: 0003-2670

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Both preservatives and saccharin are retained on a silica-based quaternary ammonium anion exchanger and eluted with MeOH-1% H2SO4 (1:1). Aspartame is not retained on the anion exchange, but the collected adsorption and wash solvents containing the aspartame can be extracted on an octadecyl sorbent.

The exts. are chromatographed in the reversed-phase mode on a C18 column with a mobile phase consisting of phosphate buffer (pH 4.5, ionic strength 0.1)-MeCN. Recoveries of at least 95% were observed and the relative standard deviation was <3.2%. Comparison of an external calibration line for aqueous standard solns., a calibration line for extracted aqueous samples, and a standard addition

line for soft drinks showed that the developed method is unbiased when applied to concns. of up to 20 mg/L in soft drinks.

L35 ANSWER 31 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:228901 HCAPLUS  
DOCUMENT NUMBER: 114:228901  
TITLE: Process for preparing solid salts of saccharin by solid phase neutralization  
INVENTOR(S): Hampl, Frantisek; Hajek, Jiri; Kubes, Miroslav; Drahonovsky, Jan; Dlouhy, Ivo; Palecek, Jaroslav; Svoboda, Jiri  
PATENT ASSIGNEE(S): Czech.  
SOURCE: Czech., 5 pp.  
CODEN: CZXXA9  
DOCUMENT TYPE: Patent  
LANGUAGE: Czech  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 266010	B1	19891114	CS 1987-7317	19871009
PRIORITY APPLN. INFO.:			CS 1987-7317	19871009

OTHER SOURCE(S): CASREACT 114:228901

AB The solid saccharin metal salts, useful as artificial sweeteners and bath additives for bright electrodeposition of Ni, Ni-Fe, Cr, etc., were prepared by solid phase neutralization of the acid saccharin form with equimol. amts. of alkali- or alkaline earth metal- or ammonium (hydrogen) carbonates with the simultaneous homogenization of the mixture, optionally in presence of 2-20 weight% H<sub>2</sub>O based on the total mixture. Thus, 5.00 kg solid saccharin and 2.29 kg NaHCO<sub>3</sub> was homogenized for 8 h in a screw mixer, 800 mL H<sub>2</sub>O was added, and the mixing continued for 16 h to give 6.53 kg saccharin Na salt dihydrate.

L35 ANSWER 32 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:610250 HCAPLUS  
DOCUMENT NUMBER: 113:210250  
TITLE: HPLC analysis of aspartame and saccharin in pharmaceutical and dietary formulations  
AUTHOR(S): Di Pietra, A. M.; Cavrini, V.; Bonazzi, D.; Benfenati, L.  
CORPORATE SOURCE: Dep. Pharm. Sci., Bologna, I-40126, Italy  
SOURCE: Chromatographia (1990), 30(3-4), 215-19  
CODEN: CHRGB7; ISSN: 0009-5893  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A reversed-phase HPLC method was developed for reliable quality control of pharmaceutical and dietary formulations containing the synthetic sweeteners aspartame and saccharin. The proposed method separated acesulfame, aspartame and saccharin, and their impurities such as 5-benzyl-3,6-dioxo-2-piperazineacetic acid (the major degradation product of aspartame) and 4-sulfamoylbenzoic acid, o- and p-toluenesulfonamides (the impurities of saccharin). A convenient solid-phase extraction procedure using C-18 sorbent, was also developed for the determination of potential saccharin impurities.

L35 ANSWER 33 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:436737 HCAPLUS

DOCUMENT NUMBER: 109:36737  
TITLE: Determination of saccharin in diet and biological materials  
AUTHOR(S): Tibbels, T. Scott; Smith, Raymond A.; Cohen, Samuel M.  
CORPORATE SOURCE: Med. Cent., Univ. Nebraska, Omaha, NE, 68105, USA  
SOURCE: Journal of Chromatography (1988), 441(2), 448-53  
CODEN: JOCRAM; ISSN: 0021-9673  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB A method for the rapid extraction and sensitive quantitation of saccharin in animal diets and biol. materials was devised. Since the pKa of saccharin is 2.2, it exists as an anion in neutral or basic aqueous solution and can be isolated from biol. fluids and alkaline exts. of solids, such as diet or feces, by solid-phase extraction (SPE) on a strong anion-exchange column. The lower recovery of saccharin from milk is probably due to competitive binding of proteins to the SPE column. Since the saccharin content of milk is high (1 mg/mL), this problem can be resolved by dilution of the milk prior to extraction and the use of fluorescence rather than UV detection. The use of a 4- $\mu$  end-capped C18 reversed-phase column reduces HPLC anal. time and provides a sharper peak by reducing absorption compared to the nonend-capped C18 column used in a previously reported method. Run times are typically 4-5 min with the saccharin peak eluting between 1.75 and 2.10 min. The sensitivity of the assay can be increased 100-fold by the use of fluorescence rather than UV detection.

L35 ANSWER 34 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1976:425316 HCAPLUS  
DOCUMENT NUMBER: 85:25316  
ORIGINAL REFERENCE NO.: 85:4083a,4086a  
TITLE: Application of thermal analysis to the study of products of pharmaceutical interest. II. Barbiturates, tranquilizers, and analgesics  
AUTHOR(S): Marcotegui, F.; Sanchez Monge, J. M.  
CORPORATE SOURCE: Fac. Farm., Univ. Navarra, Pamplona, Spain  
SOURCE: Ciencia & Industria Farmaceutica (1976), 8(1), 14-19  
CODEN: CIDFA8; ISSN: 0210-0819  
DOCUMENT TYPE: Journal  
LANGUAGE: Spanish

AB Thermograms were obtained for mixts. of the drugs acetylsalicylic acid [50-78-2], meprobamate (I) [57-53-4], phenobarbital [50-06-6], and papaverine-HCl [61-25-6] with additives, such as magnesium stearate [557-04-0] and saccharin [81-07-2], or other compds., as benzoic acid [65-85-0] and salicylic acid (II) [69-72-7]. A 1:1 mixture by weight of I-II resulted in a solid state reaction at .apprx.50° with a stoichiometry of 2 moles I to 1 mole II, the interaction occurring between the amine group of I and the acid group of II. The method was found useful for studying solid-phase interactions between drugs and other pharmaceutical constituents or organic compds.

L35 ANSWER 35 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1965:429588 HCAPLUS  
DOCUMENT NUMBER: 63:29588  
ORIGINAL REFERENCE NO.: 63:5232e-g  
TITLE: The theory of electrodeposition of alloys. XI. Effect of surface-active substances on the phase structure of electrolytic CuPb alloys  
AUTHOR(S): Polukarov, Yu. M.; Grinina, V. V.  
SOURCE: Elektrokhiimiya (1965), 1(2), 212-17  
CODEN: ELKKAX; ISSN: 0424-8570  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian

AB cf. CA 63, 2623d. Solns. of Cu and Pb perchlorates (varying concns.) were electrolyzed at controlled potentials in glass vessels that were usually

equipped with porous glass diaphragms (temperature 12 or 25°). The (311) diffraction line was used to evaluate the character of the lattices. Addition of thiourea to the solution to be electrolyzed (no diaphragm used) resulted in an 2-phase deposit (supersatd. solid solution of Pb in Cu). Identical conditions but without thiourea gave 2 solid phases. The addition of saccharin inhibited the deposition of Cu but showed no effect on the deposition of Pb. Two solid phases were formed; solubility of Pb in Cu was very low. Addition of  $\alpha$ -naphthol with gelatin markedly inhibited deposition of both metals due to formation of dense adsorption layers on the cathode. When Trilon B was added, pure Cu deposited even at a potential 30 mv. more neg. than the equilibrium Pb potential. Inhibiting the deposition of Pb causes formation of highly oversatd. solid solns. of Pb in Cu, while inhibiting the discharging of Cu results in lowering the solubility of Pb in Cu. The lattice of Cu electrodeposited in the presence of Pb and surface-active substances in the solution contains a great number of deformation defects. 21 references.

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(FILE 'HOME' ENTERED AT 15:59:07 ON 31 JAN 2008)

FILE 'HCAPLUS' ENTERED AT 15:59:18 ON 31 JAN 2008

E US20060149052/PN 25

L1 2 S E3

S 72065-24-8/REG# OR 136526-29-9/REG# OR 482333-73-3/REG# OR

FILE 'REGISTRY' ENTERED AT 16:02:01 ON 31 JAN 2008

L2 1 S 704907-41-5/RN

FILE 'HCAPLUS' ENTERED AT 16:02:01 ON 31 JAN 2008

L3 1 S L2

FILE 'REGISTRY' ENTERED AT 16:02:02 ON 31 JAN 2008

L4 1 S 223611-40-3/RN

FILE 'HCAPLUS' ENTERED AT 16:02:02 ON 31 JAN 2008

L5 4 S L4

FILE 'REGISTRY' ENTERED AT 16:02:03 ON 31 JAN 2008

L6 1 S 125274-16-0/RN

FILE 'HCAPLUS' ENTERED AT 16:02:03 ON 31 JAN 2008

L7 18 S L6

FILE 'REGISTRY' ENTERED AT 16:02:04 ON 31 JAN 2008

L8 1 S 95298-46-7/RN

FILE 'HCAPLUS' ENTERED AT 16:02:04 ON 31 JAN 2008

L9 4 S L8

FILE 'REGISTRY' ENTERED AT 16:02:05 ON 31 JAN 2008

L10 1 S 74405-42-8/RN

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L11 38 S L10

FILE 'REGISTRY' ENTERED AT 16:02:06 ON 31 JAN 2008

L12 1 S 74405-42-8/RN

FILE 'HCAPLUS' ENTERED AT 16:02:06 ON 31 JAN 2008

L13 38 S L12

FILE 'REGISTRY' ENTERED AT 16:02:07 ON 31 JAN 2008

L14 1 S 482333-74-4/RN

FILE 'HCAPLUS' ENTERED AT 16:02:07 ON 31 JAN 2008  
L15 4 S L14

FILE 'REGISTRY' ENTERED AT 16:02:08 ON 31 JAN 2008  
L16 1 S 482333-73-3/RN

FILE 'HCAPLUS' ENTERED AT 16:02:08 ON 31 JAN 2008  
L17 2 S L16

FILE 'REGISTRY' ENTERED AT 16:02:09 ON 31 JAN 2008  
L18 1 S 136526-29-9/RN

FILE 'HCAPLUS' ENTERED AT 16:02:09 ON 31 JAN 2008  
L19 6 S L18

FILE 'REGISTRY' ENTERED AT 16:02:10 ON 31 JAN 2008  
L20 1 S 72065-24-8/RN

FILE 'HCAPLUS' ENTERED AT 16:02:11 ON 31 JAN 2008  
L21 16 S L20  
L22 78 S L21 OR L19 OR L17 OR L15 OR L13 OR L11 OR L9 OR L7 OR L5 OR L

FILE 'REGISTRY' ENTERED AT 16:02:22 ON 31 JAN 2008  
L23 16 S 704907-42-6 OR 704907-44-8 OR 705292-58-6 OR 76-83-5 OR 100-4  
L24 9 S 72065-24-8 OR 136526-29-9 OR 482333-73-3 OR 482333-74-4 OR 74  
L25 25 S L23 OR L24

FILE 'HCAPLUS' ENTERED AT 16:02:37 ON 31 JAN 2008  
L26 233313 S L25  
L27 2 S L1 AND L26

FILE 'STNGUIDE' ENTERED AT 16:03:09 ON 31 JAN 2008

FILE 'REGISTRY' ENTERED AT 16:06:24 ON 31 JAN 2008  
L28 STRUCTURE UPLOADED  
L29 50 S L28 SSS SAM  
L30 16211 S L28 SSS FULL

FILE 'HCAPLUS' ENTERED AT 16:07:52 ON 31 JAN 2008  
L31 10412 S L30  
L32 120133 S SOLID PHASE  
L33 36 S L31 AND L32  
L34 1 S L33 AND PHOSPHORAMIDITE

FILE 'STNGUIDE' ENTERED AT 16:08:32 ON 31 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:08:51 ON 31 JAN 2008  
L35 35 S L33 NOT L34

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FULL ESTIMATED COST	24.49	379.55
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.20	-34.40

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=> fil hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.12	379.67
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-34.40

FILE 'HCAPLUS' ENTERED AT 16:18:30 ON 31 JAN 2008  
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FILE COVERS 1907 - 31 Jan 2008 VOL 148 ISS 5  
 FILE LAST UPDATED: 30 Jan 2008 (20080130/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> E MCCORMAC PAUL/AU 25

E1	1	MCCORMAC P/AU
E2	1	MCCORMAC P B/AU
E3	6	--> MCCORMAC PAUL/AU
E4	6	MCCORMAC PAUL B/AU
E5	2	MCCORMAC RUPERT/AU
E6	1	MCCORMAC T/AU
E7	1	MCCORMAC TIM/AU
E8	22	MCCORMAC TIMOTHY/AU
E9	1	MCCORMACK/AU
E10	7	MCCORMACK A/AU
E11	1	MCCORMACK A G/AU
E12	4	MCCORMACK A J/AU
E13	1	MCCORMACK A K/AU
E14	9	MCCORMACK A L/AU
E15	4	MCCORMACK A M/AU
E16	1	MCCORMACK A P/AU
E17	1	MCCORMACK AIDAN/AU

E18 1 MCCORMACK AILSA J/AU  
 E19 1 MCCORMACK AISLING/AU  
 E20 1 MCCORMACK AK/AU  
 E21 1 MCCORMACK ALAN G/AU  
 E22 2 MCCORMACK ALEX A/AU  
 E23 5 MCCORMACK ALISON/AU  
 E24 17 MCCORMACK ALISON L/AU  
 E25 3 MCCORMACK AMY J/AU

=> S (E1 OR E2 OR E3 OR E4)

1 "MCCORMAC P"/AU  
 1 "MCCORMAC P B"/AU  
 6 "MCCORMAC PAUL"/AU  
 6 "MCCORMAC PAUL B"/AU

L36 14 ("MCCORMAC P"/AU OR "MCCORMAC P B"/AU OR "MCCORMAC PAUL"/AU OR "MCCORMAC PAUL B"/AU)

=> d his

(FILE 'HOME' ENTERED AT 15:59:07 ON 31 JAN 2008)

FILE 'HCAPLUS' ENTERED AT 15:59:18 ON 31 JAN 2008  
 E US20060149052/PN 25

L1 2 S E3  
 S 72065-24-8/REG# OR 136526-29-9/REG# OR 482333-73-3/REG# OR

FILE 'REGISTRY' ENTERED AT 16:02:01 ON 31 JAN 2008  
 L2 1 S 704907-41-5/RN

FILE 'HCAPLUS' ENTERED AT 16:02:01 ON 31 JAN 2008  
 L3 1 S L2

FILE 'REGISTRY' ENTERED AT 16:02:02 ON 31 JAN 2008  
 L4 1 S 223611-40-3/RN

FILE 'HCAPLUS' ENTERED AT 16:02:02 ON 31 JAN 2008  
 L5 4 S L4

FILE 'REGISTRY' ENTERED AT 16:02:03 ON 31 JAN 2008  
 L6 1 S 125274-16-0/RN

FILE 'HCAPLUS' ENTERED AT 16:02:03 ON 31 JAN 2008  
 L7 18 S L6

FILE 'REGISTRY' ENTERED AT 16:02:04 ON 31 JAN 2008  
 L8 1 S 95298-46-7/RN

FILE 'HCAPLUS' ENTERED AT 16:02:04 ON 31 JAN 2008  
 L9 4 S L8

FILE 'REGISTRY' ENTERED AT 16:02:05 ON 31 JAN 2008  
 L10 1 S 74405-42-8/RN

FILE 'HCAPLUS' ENTERED AT 16:02:05 ON 31 JAN 2008  
 L11 38 S L10

FILE 'REGISTRY' ENTERED AT 16:02:06 ON 31 JAN 2008  
 L12 1 S 74405-42-8/RN

FILE 'HCAPLUS' ENTERED AT 16:02:06 ON 31 JAN 2008  
 L13 38 S L12

FILE 'REGISTRY' ENTERED AT 16:02:07 ON 31 JAN 2008  
 L14 1 S 482333-74-4/RN



L15 FILE 'HCAPLUS' ENTERED AT 16:02:07 ON 31 JAN 2008  
4 S L14

L16 FILE 'REGISTRY' ENTERED AT 16:02:08 ON 31 JAN 2008  
1 S 482333-73-3/RN

L17 FILE 'HCAPLUS' ENTERED AT 16:02:08 ON 31 JAN 2008  
2 S L16

L18 FILE 'REGISTRY' ENTERED AT 16:02:09 ON 31 JAN 2008  
1 S 136526-29-9/RN

L19 FILE 'HCAPLUS' ENTERED AT 16:02:09 ON 31 JAN 2008  
6 S L18

L20 FILE 'REGISTRY' ENTERED AT 16:02:10 ON 31 JAN 2008  
1 S 72065-24-8/RN

L21 FILE 'HCAPLUS' ENTERED AT 16:02:11 ON 31 JAN 2008  
16 S L20

L22 78 S L21 OR L19 OR L17 OR L15 OR L13 OR L11 OR L9 OR L7 OR L5 OR L

L23 FILE 'REGISTRY' ENTERED AT 16:02:22 ON 31 JAN 2008  
16 S 704907-42-6 OR 704907-44-8 OR 705292-58-6 OR 76-83-5 OR 100-4

L24 9 S 72065-24-8 OR 136526-29-9 OR 482333-73-3 OR 482333-74-4 OR 74

L25 25 S L23 OR L24

L26 FILE 'HCAPLUS' ENTERED AT 16:02:37 ON 31 JAN 2008  
233313 S L25

L27 2 S L1 AND L26

FILE 'STNGUIDE' ENTERED AT 16:03:09 ON 31 JAN 2008

L28 FILE 'REGISTRY' ENTERED AT 16:06:24 ON 31 JAN 2008  
STRUCTURE UPLOADED

L29 50 S L28 SSS SAM

L30 16211 S L28 SSS FULL

L31 FILE 'HCAPLUS' ENTERED AT 16:07:52 ON 31 JAN 2008  
10412 S L30

L32 120133 S SOLID PHASE

L33 36 S L31 AND L32

L34 1 S L33 AND PHOSPHORAMIDITE

FILE 'STNGUIDE' ENTERED AT 16:08:32 ON 31 JAN 2008

L35 FILE 'HCAPLUS' ENTERED AT 16:08:51 ON 31 JAN 2008  
35 S L33 NOT L34

FILE 'STNGUIDE' ENTERED AT 16:09:25 ON 31 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:16:46 ON 31 JAN 2008

FILE 'STNGUIDE' ENTERED AT 16:17:13 ON 31 JAN 2008

L36 FILE 'HCAPLUS' ENTERED AT 16:18:30 ON 31 JAN 2008  
E MCCORMAC PAUL/AU 25  
14 S (E1 OR E2 OR E3 OR E4)

=> s l36 and l31

L37 1 L36 AND L31

=> d l37 ti

L37 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN  
 TI Process for the solid phase preparation of oligodeoxyribonucleotides using heterocycle activators

=> fil stng

COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
3.05	382.72

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
0.00	-34.40

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=> d l37 ibib abs hitstr

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L37 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:534221 HCAPLUS

DOCUMENT NUMBER: 141:54582

TITLE: Process for the solid phase preparation of oligodeoxyribonucleotides using heterocycle activators

INVENTOR(S): McCormac, Paul

PATENT ASSIGNEE(S): Avecia Limited, UK

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055036	A1	20040701	WO 2003-GB5464	20031216
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2003091267	A1	20031106	WO 2003-GB1795	20030425
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,			

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
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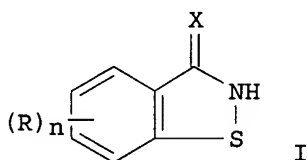
CA 2510477	A1	20040701	CA 2003-2510477	20031216
AU 2003292423	A1	20040709	AU 2003-292423	20031216
EP 1575975	A1	20050921	EP 2003-768001	20031216

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1747963	A	20060315	CN 2003-80109693	20031216
JP 2006512411	T	20060413	JP 2005-502460	20031216
US 2006149052	A1	20060706	US 2006-539625	20060103

PRIORITY APPLN. INFO.: GB 2002-29443 A 20021218  
 WO 2003-GB1795 A 20030425  
 GB 2002-9539 A 20020426  
 WO 2003-GB5464 W 20031216

OTHER SOURCE(S): CASREACT 141:54582; MARPAT 141:54582  
 GI



AB A process for the synthesis of an oligonucleotide is provided in which an oligonucleotide is assembled on a swellable solid support using the phosphoramidite approach in the presence of an activator I, wherein n is 0-4; R for each occurrence is a substituent, or two adjacent R groups taken together with the carbon atoms to which they are attached form a six membered saturated or unsatd. ring; and X is O or S; the activator is not tetrazole or a substituted tetrazole. Preferred activators are pyridinium, imidazolinium and benzimidazolinium salts; benzotriazole and derivs. thereof; and saccharin or a saccharin derivative Preferred swellable solid supports comprise functionalized polystyrene, partially hydrolyzed polyvinyl-acetate or poly(acrylamide).

IT 136526-29-9 482333-73-3 482333-74-4  
 RL: CAT (Catalyst use); USES (Uses)  
 (process for solid phase preparation of oligodeoxyribonucleotides using heterocycle activators)

RN 136526-29-9 HCAPLUS  
 CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with pyridine (1:1) (CA INDEX NAME)

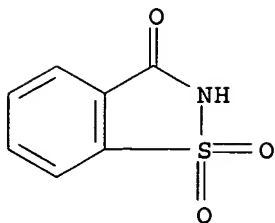
CM 1

CRN 110-86-1  
 CMF C5 H5 N



CM 2

CRN 81-07-2  
 CMF C7 H5 N O3 S



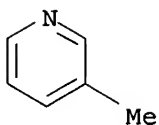
RN 482333-73-3 HCAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with 3-methylpyridine  
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 108-99-6

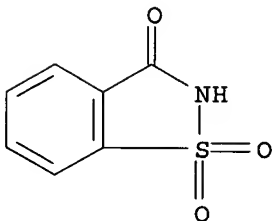
CMF C6 H7 N



CM 2

CRN 81-07-2

CMF C7 H5 N O3 S



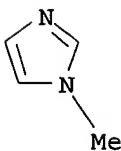
RN 482333-74-4 HCAPLUS

CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with  
1-methyl-1H-imidazole (1:1) (CA INDEX NAME)

CM 1

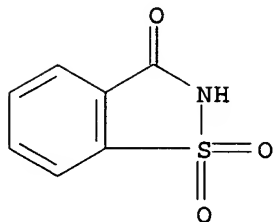
CRN 616-47-7

CMF C4 H6 N2



CM 2

CRN 81-07-2  
CMF C7 H5 N O3 S



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil stng

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.06	390.98

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-35.20

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(FILE 'HOME' ENTERED AT 15:59:07 ON 31 JAN 2008)

FILE 'HCAPLUS' ENTERED AT 15:59:18 ON 31 JAN 2008  
E US20060149052/PN 25

L1 2 S E3  
S 72065-24-8/REG# OR 136526-29-9/REG# OR 482333-73-3/REG# OR

FILE 'REGISTRY' ENTERED AT 16:02:01 ON 31 JAN 2008  
L2 1 S 704907-41-5/RN

FILE 'HCAPLUS' ENTERED AT 16:02:01 ON 31 JAN 2008  
L3 1 S L2

FILE 'REGISTRY' ENTERED AT 16:02:02 ON 31 JAN 2008  
L4 1 S 223611-40-3/RN

FILE 'HCAPLUS' ENTERED AT 16:02:02 ON 31 JAN 2008  
L5 4 S L4

FILE 'REGISTRY' ENTERED AT 16:02:03 ON 31 JAN 2008  
L6 1 S 125274-16-0/RN

FILE 'HCAPLUS' ENTERED AT 16:02:03 ON 31 JAN 2008  
L7 18 S L6

FILE 'REGISTRY' ENTERED AT 16:02:04 ON 31 JAN 2008  
L8 1 S 95298-46-7/RN

L9 FILE 'HCAPLUS' ENTERED AT 16:02:04 ON 31 JAN 2008  
4 S L8

L10 FILE 'REGISTRY' ENTERED AT 16:02:05 ON 31 JAN 2008  
1 S 74405-42-8/RN

L11 FILE 'HCAPLUS' ENTERED AT 16:02:05 ON 31 JAN 2008  
38 S L10

L12 FILE 'REGISTRY' ENTERED AT 16:02:06 ON 31 JAN 2008  
1 S 74405-42-8/RN

L13 FILE 'HCAPLUS' ENTERED AT 16:02:06 ON 31 JAN 2008  
38 S L12

L14 FILE 'REGISTRY' ENTERED AT 16:02:07 ON 31 JAN 2008  
1 S 482333-74-4/RN

L15 FILE 'HCAPLUS' ENTERED AT 16:02:07 ON 31 JAN 2008  
4 S L14

L16 FILE 'REGISTRY' ENTERED AT 16:02:08 ON 31 JAN 2008  
1 S 482333-73-3/RN

L17 FILE 'HCAPLUS' ENTERED AT 16:02:08 ON 31 JAN 2008  
2 S L16

L18 FILE 'REGISTRY' ENTERED AT 16:02:09 ON 31 JAN 2008  
1 S 136526-29-9/RN

L19 FILE 'HCAPLUS' ENTERED AT 16:02:09 ON 31 JAN 2008  
6 S L18

L20 FILE 'REGISTRY' ENTERED AT 16:02:10 ON 31 JAN 2008  
1 S 72065-24-8/RN

L21 FILE 'HCAPLUS' ENTERED AT 16:02:11 ON 31 JAN 2008  
16 S L20

L22 78 S L21 OR L19 OR L17 OR L15 OR L13 OR L11 OR L9 OR L7 OR L5 OR L

L23 FILE 'REGISTRY' ENTERED AT 16:02:22 ON 31 JAN 2008  
16 S 704907-42-6 OR 704907-44-8 OR 705292-58-6 OR 76-83-5 OR 100-4

L24 9 S 72065-24-8 OR 136526-29-9 OR 482333-73-3 OR 482333-74-4 OR 74

L25 25 S L23 OR L24

L26 FILE 'HCAPLUS' ENTERED AT 16:02:37 ON 31 JAN 2008  
233313 S L25

L27 2 S L1 AND L26

FILE 'STNGUIDE' ENTERED AT 16:03:09 ON 31 JAN 2008

L28 FILE 'REGISTRY' ENTERED AT 16:06:24 ON 31 JAN 2008  
STRUCTURE UPLOADED

L29 50 S L28 SSS SAM

L30 16211 S L28 SSS FULL

L31 FILE 'HCAPLUS' ENTERED AT 16:07:52 ON 31 JAN 2008  
10412 S L30

L32 120133 S SOLID PHASE

L33 36 S L31 AND L32

L34 1 S L33 AND PHOSPHORAMIDITE

FILE 'STNGUIDE' ENTERED AT 16:08:32 ON 31 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:08:51 ON 31 JAN 2008  
L35 35 S L33 NOT L34

FILE 'STNGUIDE' ENTERED AT 16:09:25 ON 31 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:16:46 ON 31 JAN 2008

FILE 'STNGUIDE' ENTERED AT 16:17:13 ON 31 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:18:30 ON 31 JAN 2008  
E MCCORMAC PAUL/AU 25  
L36 14 S (E1 OR E2 OR E3 OR E4)  
L37 1 S L36 AND L31

FILE 'STNGUIDE' ENTERED AT 16:19:17 ON 31 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:20:02 ON 31 JAN 2008

FILE 'STNGUIDE' ENTERED AT 16:20:03 ON 31 JAN 2008

FILE 'STNGUIDE' ENTERED AT 16:20:05 ON 31 JAN 2008

=> fil hcaplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.30	391.28
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-35.20

FILE 'HCAPLUS' ENTERED AT 16:23:08 ON 31 JAN 2008  
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FILE COVERS 1907 - 31 Jan 2008 VOL 148 ISS 5  
FILE LAST UPDATED: 30 Jan 2008 (20080130/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s pyridin? or imidazolin? or benezimidazol? or benzotrazole or saccharin  
290406 PYRIDIN?  
16289 IMIDAZOLIN?  
0 BENEZIMIDAZOL?  
14 BENZOTRAZOLE  
2 BENZOTRAZOLES  
15 BENZOTRAZOLE  
(BENZOTRAZOLE OR BENZOTRAZOLES)

11625 SACCHARIN  
105 SACCHARINS  
11648 SACCHARIN  
(SACCHARIN OR SACCHARINS)

L38 316919 PYRIDIN? OR IMIDAZOLIN? OR BENEZIMIDAZOL? OR BENZOTRAZOLE OR  
SACCHARIN

=> s l38 and l32  
L39 1782 L38 AND L32

=> s l39 and activator?  
132879 ACTIVATOR?  
L40 22 L39 AND ACTIVATOR?

=> d l40 ibib abs

L40 ANSWER 1 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:86502 HCAPLUS  
TITLE: Process for solid-phase synthesis  
of thymosin  $\alpha$ 1  
INVENTOR(S): Chu, Hong  
PATENT ASSIGNEE(S): Chinattech Peptide (Suzhou) Co., Ltd., Peop. Rep. China  
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 14pp.  
CODEN: CNXXEV  
DOCUMENT TYPE: Patent  
LANGUAGE: Chinese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 101104638	A	20080116	CN 2007-10024406	20070618

PRIORITY APPLN. INFO.: CN 2007-10024406 20070618

AB The process comprises (1) treating Fmoc-Rink Amide AM resin or Fmoc-Rink Amide MBHA resin to obtain Fmoc-Asp (resin)-X [wherein X as protective group for carboxy group; X = OtBu, OAll, or Dmab]; (2) synthesizing the rest of 27 amino acids of thymosin  $\alpha$ 1 with amino acid activator sequentially; (3) acetylating the amino acid in N terminal with acetic anhydride-pyridine; (4) cutting with splitting agent at room temperature for 2-3 h, precipitating with 8-10-fold Et ether to obtain the crude peptide; and (5) purifying by RP-HPLC. The amino acid activator is A+B+DIPEA, inwhich A is TBTU, HATU, HBTU or HCTU, and B is HOBT, HOAT or Cl-HOBT. The splitting agent is trifluoroacetic acid/thioanisole/1,2-dithioglycol/anisole (90:5:3:2). The process has the advantages of high yield, and low cost, and is easy to industrialization.

=> d l40 ibib abs 2-22

L40 ANSWER 2 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:998266 HCAPLUS  
DOCUMENT NUMBER: 147:323132  
TITLE: Process for preparation of thiopyrophosphate S-esters  
as hydrolytically-stable  $\gamma\delta$ -lymphocytes  
activators and pharmaceutical compositions  
thereof  
INVENTOR(S): Breccia, Perla; Angeli, Francesca; Colizzi, Vittorio;  
Pinza, Mario; Poccia, Fabrizio; Topai, Alessandra  
PATENT ASSIGNEE(S): C4T S.C. a r.l., Italy  
SOURCE: PCT Int. Appl., 37pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1



## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007099117	A1	20070907	WO 2007-EP51896	20070228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:

IT 2006-MI366

A 20060301

OTHER SOURCE(S):

MARPAT 147:323132

AB Thiopyrophosphate salts [RX(CH<sub>2</sub>)<sub>n</sub>SP(O)2OPO<sub>3</sub>]A<sub>3</sub> [1, X = O, S, bond; n = 0, when X = bond, n = 1-3, when X = O, S; R = optionally halo-, hydroxy-, cyano-substituted C1-9 aliphatic group, C3-6 cycloalkyl, (hetero)aryl, preferably Ph, pyridinyl, saturated heterocyclyl, preferably oxetanyl, dioxolanyl, tetrahydropyranyl; A = (in)organic cation, preferably NH<sub>4</sub><sup>+</sup>, Na<sup>+</sup>, K<sup>+</sup>, lysine, tromethamine, hydroxypyrrolidine, triethanolamine, N-methylglucamine cations], useful as hydrolytically-stable  $\gamma\delta$ -lymphocytes activators, were prepared by an improved process, comprising reaction of an alc. RX(CH<sub>2</sub>)<sub>n</sub>OH (2) with thiopyrophosphate [HSP(O)2OPO<sub>3</sub>]A<sub>3</sub> (3; for 2, 3, same R, X, n; A; preferably A = tetraalkylammonium), preferably by solid phase-assisted reaction of low-capacity polystyrene-benzenesulfonyl chloride-supported 2 with 3 in acetonitrile in 1:1 to 5:1 mol ratio for 24 h at ambient temperature with subsequent ion exchange preferably with DOWEX 50-WX8-200 in a suitable NH<sub>4</sub><sup>+</sup> or Na<sup>+</sup> form. The invention also relates to a method for preparing a pharmaceutical composition containing thiopyrophosphates 1. In an example, trisodium thiopyrophosphate S-(4-chlorobutyl) ester (1a) was prepared with 61% yield by reaction of 0.248 mmol of 4-chlorobutanol supported on 0.165 mmol of polystyrene-benzenesulfonyl chloride resin (1.47 mmol/g) swelled in THF, with 0.165 mmol of [Bu<sub>4</sub>N]<sup>+</sup>[SP(O)2OPO<sub>3</sub>] in 0.6 mL of MeCN for 24 h at room temperature with subsequent ion exchange with DOWEX (NH<sub>4</sub><sup>+</sup>) resin. In another example, compound 1a exhibited 131% and 81% activation of production of cytokines TNF $\alpha$  and IFN $\gamma$ , resp., by peripheral blood mononuclear cells (PBMC/IL-2) in 10 $\mu$ M concentration

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 3 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:966571 HCAPLUS

DOCUMENT NUMBER: 147:323234

TITLE: Method of capping oligo-nucleic acid

INVENTOR(S): Enya, Yukiko

PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 77pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007097446	A1	20070830	WO 2007-JP53491	20070226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,  
KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,  
MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,  
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,  
TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-50389 A 20060227  
OTHER SOURCE(S): MARPAT 147:323234  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Disclosed is a process for the preparation of an oligo-nucleic acid derivative I  
[Bx = (un)protected nucleobase or derivative thereof; n = 1-200; Q = O or S;  
WG2 = electron-withdrawing group; R51-R53 = H, alkyl or halo; R4 = H,  
halo, alkoxy, etc.; E = acyl or -E1-linker-solid support; E1 = single bond  
or Q1; T = H, acyloxy, halo, etc.], characterized by acylation at  
5'-hydroxy of ribose in an oligo-nucleic acid derivative II [Bx, n, Q, WG2,  
R4, E, and T = same as above] with the phenoxyacetic anhydride III  
[R51-R53 = same as above] in the presence of an activator IV or  
V [R6a, R6b, R7a-R7d = alkyl; R6c, R6d = H or alkyl]. For example,  
cytidyl-[3'→5']-uridyl-[3'→5']-uridyl-[3'→5']-adenyl-  
[3'→5']-cytidyl-[3'→5']-guanyl-[3'→5']-cytidyl-  
[3'→5']-uridyl-[3'→5']-guanyl-[3'→5']-adenyl-  
[3'→5']-guanyl-[3'→5']-uridyl-[3'→5']-adenyl-  
[3'→5']-cytidyl-[3'→5']-uridyl-[3'→5']-uridyl-  
[3'→5']-cytidyl-[3'→5']-guanyl-[3'→5']-adenyl-  
[3'→5']-thymidyl-[3'→5']-thymidine (VI) was treated with 0.1  
M phenoxyacetic anhydride in THF and 2-DMAP (6.5 g)/2,6-lutidine (10  
mL)/THF (90 mL) to give phenoxyacetyl-VI in 48% yield.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 4 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:647540 HCAPLUS

DOCUMENT NUMBER: 147:72987

TITLE: Activator bound CPG solid supports for  
nucleic acid synthesis via the phosphoramidite  
approach

INVENTOR(S): Ngo, Nam Q.; Jaquinod, Laurent

PATENT ASSIGNEE(S): Ctgen, Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 10pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007135626	A1	20070614	US 2005-301020	20051212
PRIORITY APPLN. INFO.:			US 2005-301020	20051212

AB The present invention relates to improved methods for the preparation of  
nucleic acids. More particularly, conventional solid supports used for  
nucleic acid synthesis are derivatized with activators having  
pKas within the 4 to 7 range. Preferentially, CPG-based solid supports

are reacted with trialkoxysilanes containing an activator moiety such as pyridine. During each deblocking step of the nucleic acid synthesis cycle, bound pyridinium are generated, yielding a weak acidic medium spreads throughout the solid support. The bound activators efficiently activate the phosphoramidite reagents towards coupling with 5'-hydroxynucleosides bound to the solid supports, thus eliminating or supplementing external deliveries of activator during the coupling steps. Activators are selected from bipyridine, terpyridine, polypyridine, quinoline, biquinoline, dialky-ylaminopyridine, pyrimidine, alkylaniline, dipyridylaniline, dipyridylaminobiphenyl, carbazole, benzimidazole, and imidazole. Organic polymer is selected from poly(vinylpyridyl-co-styrene), polyvinylpyridine crosslinked with divinylbenzene and poly(vinylpyridyl-co-styrene) crosslinked with divinylbenzene.

L40 ANSWER 5 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:590842 HCAPLUS

DOCUMENT NUMBER: 147:31109

TITLE: Automated solid phase synthesis of pyrrole-imidazole polyamide

INVENTOR(S): Sugiyama, Hiroshi; Dohno, Chikara; Fukuda, Noboru

PATENT ASSIGNEE(S): Nihon University, Japan; Gentier Biosystems, Inc.

SOURCE: PCT Int. Appl., 24pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007060860	A1	20070531	WO 2006-JP322658	20061114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			JP 2005-336811	A 20051122
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Disclosed is a solid phase process for the preparation of pyrrole-imidazole ployamide using HCTU (1-[bis(dimethylamino)methylene]-5-chloro-1H-benzotriazolium 3-oxidohexafluorophosphate) as a condensation activator. For example, to a suspension of 4-(Fmoc-amino)-1-methylpyrrole-2-carboxylic acid (FmocPyCO<sub>2</sub>H, 145 mg) in CH<sub>2</sub>Cl<sub>2</sub> (2 mL) were added oxalyl chloride (52 µL) and DMF (2 µL), the reaction was stirred at room temperature for 30 min to give FmocPyCO<sub>2</sub>H chloride. The obtained product/CH<sub>2</sub>Cl<sub>2</sub> (1 mL) was added to a mixture of resin-bound I [A = Q1; B = Q2] (H<sub>2</sub>NImβPyPyγPyβPyβ-resin) and pyridine (130 µL), shaking for 15 min followed by acetyl capping and treatment with N,N-dimethylpropanediamine (2 mL) at 55° for 10 h afforded compound I [A = Q3; B = Q4]. Wherein,

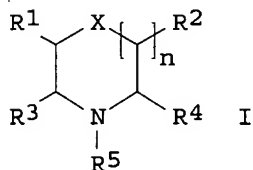
H2NIm $\beta$ PyPy $\gamma$ PyPy $\beta$ PyPy $\beta$ -resin was prepared from FmocPyCO<sub>2</sub>H (145 mg + 7), 4-(Fmoc-amino)-1-methylimidazole-2-carboxylic acid (FmocImCO<sub>2</sub>H, 145 mg), Fmoc- $\gamma$ -aminobutanoic acid (Fmoc- $\gamma$ -Abu-OH, 130 mg), Fmoc- $\beta$ -Ala-OH (125 mg + 3), and Fmoc- $\beta$ -Ala-CLEAR-acid-resin (200 mg) by repeating the following procedure: (1) coupling reaction in the presence of HCTU/DMF (0.5 M) and DIEA/DMF (1.0 M) for 1 h (2) acetyl capping (Ac<sub>2</sub>O:pyridine:DMF = 1:1:18) (3) removal of Fmoc group (20% piperidine/DMF).

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 6 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:273260 HCAPLUS  
DOCUMENT NUMBER: 144:318597  
TITLE: Stabilized protease composition for therapeutic use comprising serine protease, morpholino derivatives and serine protease reversible inhibitors  
INVENTOR(S): Andersson, Lars-Olov; Ageland, Hans  
PATENT ASSIGNEE(S): Trobio AB, Swed.  
SOURCE: Eur. Pat. Appl., 20 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1637141	A1	20060322	EP 2004-22378	20040921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRIORITY APPLN. INFO.:			EP 2004-22378	20040921
OTHER SOURCE(S):	MARPAT 144:318597			
GI				



AB A composition is provided, which comprises a serine protease; a reversible inhibitor of the serine protease; and a stabilizer compound having the formula I ( $n = 0, 1, 2$ ;  $X = O, N, CH_2$ ;  $R_1-4 = H, CH_2R_6, CH_2OR_6$ , etc.;  $R_5 = R_1-4, P-Q$ ;  $P = (CH_2)_m, (CH_2)_mY(CH_2)_m$ ;  $m = 1-6$ ;  $Y = NH, O, S$ ;  $Q = H, SO_3, CO_2H, NH_2, OH, CONH_2$ ;  $R_6 = H, (substituted)lower\ alkyl, (substituted)cycloalkyl, (substituted)benzyl$ , etc.). Also provided are uses of the composition as a medicament, and methods employing its various properties. More specifically, the composition containing thrombin is used as a hemostatic; while the composition containing plasmin or urokinase is used as a thrombolytic.

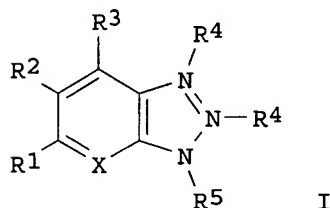
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 7 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1130655 HCAPLUS  
DOCUMENT NUMBER: 143:422575  
TITLE: Process and phosphoramidation reagents for oligonucleotide synthesis and purification  
INVENTOR(S): Manoharan, Muthiah; Jung, Michael E.; Rajeev,

Kallanthottathil G.; Pandey, Rajendra K.; Wang, Gang  
 PATENT ASSIGNEE(S): Alnylam Pharmaceuticals, USA  
 SOURCE: PCT Int. Appl., 181 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097817	A2	20051020	WO 2005-US11490	20050405
WO 2005097817	A3	20060504		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2005230684 A1 20051020 AU 2005-230684 20050405 CA 2561741 A1 20051020 CA 2005-2561741 20050405 US 2005267300 A1 20051201 US 2005-99430 20050405 EP 1737878 A2 20070103 EP 2005-736465 20050405 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR JP 2007531794 T 20071108 JP 2007-507431 20050405 PRIORITY APPLN. INFO.: US 2004-559782P P 20040405 WO 2005-US11490 W 20050405 OTHER SOURCE(S): CASREACT 143:422575; MARPAT 143:422575 GI				



AB Heterocyclic compds. I, wherein X is substituted carbon or nitrogen; R1-R3 are independently H, NO<sub>2</sub>, CN, CF<sub>3</sub>, sulfonyl, sulfide, halogen, alkyl, alkenyl, alkynyl, aryl, alkoxy, ether, amine, acyl, ester; R1R2 or R2R3 can be taken together to form 4-8 member ring containing 0-4 heteroatoms selected from the group of O, N, S; R4 is absent or alkyl, R5 is H, alkyl; were prepared and used in synthesis of oligonucleotides. The present invention relates to processes and reagents for oligonucleotide synthesis and purification. One aspect of the present invention relates to compds. useful for activating phosphoramidites in oligonucleotide synthesis. Another aspect of the present invention relates to a method of preparing oligonucleotides via the phosphoramidite method using an activator of the invention. Another aspect of the present invention relates to sulfur-transfer agents. In a preferred embodiment, the sulfur-transfer agent is a 3-amino-1,2,4-dithiazolidine-5-one. Another aspect of the present invention relates to a method of preparing a phosphorothioate by

treating a phosphite with a sulfur-transfer reagent of the invention. In a preferred embodiment, the sulfur-transfer agent is a 3-amino-1,2,4-dithiazolidine-5-one. Another aspect of the present invention relates to compds. that scavenge acrylonitrile produced during the deprotection of phosphate groups bearing ethyl-nitrile protecting groups. In a preferred embodiment, the acrylonitrile scavenger is a polymer-bound thiol. Another aspect of the present invention relates to agents used to oxidize a phosphite to a phosphate. In a preferred embodiment, the oxidizing agent is sodium chlorite, chloro-amine, or pyridine-N-oxide. Another aspect of the present invention relates to methods of purifying an oligonucleotide by annealing a first single-stranded oligonucleotide and second single-stranded oligonucleotide to form a double-stranded oligonucleotide; and subjecting the double-stranded oligonucleotide to chromatog. purification. In a preferred embodiment, the chromatog. purification is high-performance liquid chromatog. Thus, 5'-TTTTTT-3' was prepared using 5-(ethylthio)-1H-tetrazole as activator.

L40 ANSWER 8 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1075813 HCAPLUS  
 DOCUMENT NUMBER: 143:367532  
 TITLE: Processes for producing ribonucleotide analogue with high stereoregularity and deoxyribonucleotide analogue  
 INVENTOR(S): Saigo, Kazuhiko; Wada, Takeshi; Fujiwara, Satoshi; Sato, Terutoshi; Iwamoto, Naoki  
 PATENT ASSIGNEE(S): Toudai Tlo, Ltd., Japan  
 SOURCE: PCT Int. Appl., 49 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092909	A1	20051006	WO 2005-JP3812	20050228
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: JP 2004-89152 A 20040325  
 JP 2004-240753 A 20040820

OTHER SOURCE(S): MARPAT 143:367532  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Process for the preparation of compound I or II [Y = alkyl, alkoxy, hydroxyalkyl, etc.; Bs = 1-uracilyl, 1-guaninyl, 1-thyminy, etc.; D2, E2 = H, OH], characterized by condensing an optically active nucleoside 3'-phosphoroamidite III [R1, R' = H, alkyl, aryl; R2, R' = H, alkyl, aryl; R3 = alkyl; R4 = protecting group of OH; D1 = H, OR5, OH; R5 = protecting group of OH; Bs = same as above] with a nucleoside IV [R6 = protecting group of OH; E1 = H, OH, OR7; R7 = protecting group of OH; Bs =

same as above] using an activator V [X- = BF<sub>4</sub>-, PF<sub>6</sub>-, CF<sub>3</sub>SO<sub>3</sub>-, etc.; ring A = monocycle or bicycle which completes ring with N together] and then subjecting the condensate to sulfurization and protective-group elimination, was disclosed. For example, condensation of compound VI [Ur = 1-uracilyl; R10 = bis(4-methoxyphenyl)phenylmethyl; R11 = tert-butyldimethylsilyl] (50 µmol) with 2',3'-di-O-phenonxylacetyluridine (50 µmol) and N-cyanomethylpyrrolidinium trifluoromethanesulfonate (100 µmol) in acetonitrile (0.25 M) and CD<sub>3</sub>CN (100 µL) showed compound VII [Ur = 1-uracilyl; R10 = bis(4-methoxyphenyl)phenylmethyl; R11 = tert-butyldimethylsilyl; R12 = phenoxyacetyl] in diastereomeric ratio (dr) of >99:1. Then, acetylation [acetic anhydride (0.1 mmol) in pyridine (0.5 mmol)] followed by sulfurization using Beaucage reagent (0.06 mmol) and treatment with NH<sub>3</sub> (60 °C, 4 h) afforded compound VIII·NH<sub>3</sub> [Ur = 1-uracilyl; R10 = bis(4-methoxyphenyl)phenylmethyl; R11 = tert-butyldimethylsilyl] in >99:1 dr. Compound VIII [Ur = 1-uracilyl; R10 = bis(4-methoxyphenyl)phenylmethyl; R11 = tert-butyldimethylsilyl] was desilylated by 3HF-Et<sub>3</sub>N (room temperature, 2 h), treated with acetic acid (room temperature, 30 min) to give compound VIII·Et<sub>3</sub>N [Ur = 1-uracilyl; R10, R11 = H] of >99:1 dr in 37% overall yield from compound VI [Ur = 1-uracilyl; R = bis(4-methoxyphenyl)phenylmethyl; R1 = tert-butyldimethylsilyl].

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 9 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:604265 HCAPLUS

DOCUMENT NUMBER: 143:267184

TITLE: High-Yield Solution-Phase Synthesis of Di- and Trinucleotide Blocks Assisted by Polymer-Supported Reagents

AUTHOR(S): Dueymes, Cecile; Schoenberger, Andreas; Adamo, Ilaria; Navarro, Aude-Emmanuelle; Meyer, Albert; Lange, Meinolf; Imbach, Jean-Louis; Link, Fritz; Morvan, Francois; Vasseur, Jean-Jacques

CORPORATE SOURCE: ERT Oligonucleotides: Methodologie, UMR 5625 CNRS-UM2, University Montpellier II, Montpellier, 34095, Fr.

SOURCE: Organic Letters (2005), 7(16), 3485-3488

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:267184

AB A new solution-phase phosphoramidite approach is reported for oligonucleotide synthesis employing recyclable solid-supported reagents. It uses polyvinyl pyridinium tosylate as the activator of a nucleoside-3'-O-phosphoramidite in the coupling step with a 5'-OH nucleoside or dinucleotide. The resulting phosphite triester was either sulfurized or oxidized using polystyrene-bound trimethylammonium tetrathionate or periodate. This method avoids complicated purification steps, as excess reagents are easily removed by filtration.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 10 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:534221 HCAPLUS

DOCUMENT NUMBER: 141:54582

TITLE: Process for the solid phase preparation of oligodeoxyribonucleotides using heterocycle activators

INVENTOR(S): McCormac, Paul

PATENT ASSIGNEE(S): Avecia Limited, UK

SOURCE: PCT Int. Appl., 23 pp.

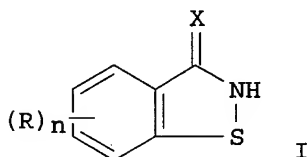
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055036	A1	20040701	WO 2003-GB5464	20031216
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2003091267	A1	20031106	WO 2003-GB1795	20030425
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2510477	A1	20040701	CA 2003-2510477	20031216
AU 2003292423	A1	20040709	AU 2003-292423	20031216
EP 1575975	A1	20050921	EP 2003-768001	20031216
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
CN 1747963	A	20060315	CN 2003-80109693	20031216
JP 2006512411	T	20060413	JP 2005-502460	20031216
US 2006149052	A1	20060706	US 2006-539625	20060103
PRIORITY APPLN. INFO.:			GB 2002-29443	A 20021218
			WO 2003-GB1795	A 20030425
			GB 2002-9539	A 20020426
			WO 2003-GB5464	W 20031216

OTHER SOURCE(S): CASREACT 141:54582; MARPAT 141:54582  
 GI



AB A process for the synthesis of an oligonucleotide is provided in which an oligonucleotide is assembled on a swellable solid support using the phosphoramidite approach in the presence of an activator I, wherein n is 0-4; R for each occurrence is a substituent, or two adjacent R groups taken together with the carbon atoms to which they are attached form a six membered saturated or unsatd. ring; and X is O or S; the activator is not tetrazole or a substituted tetrazole. Preferred activators are pyridinium, imidazolinium and benzimidazolinium salts; benzotriazole and derivs. thereof; and saccharin or a saccharin derivative Preferred swellable solid supports comprise functionalized polystyrene, partially hydrolyzed



polyvinyl-acetate or poly(acrylamide).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

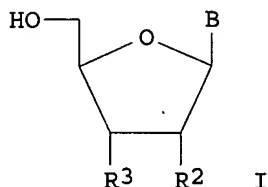
L40 ANSWER 11 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:329753 HCAPLUS  
DOCUMENT NUMBER: 141:23854  
TITLE: Synthesis and Fluorescence Studies of Multiple Labeled Oligonucleotides Containing Dansyl Fluorophore Covalently Attached at 2'-Terminus of Cytidine via Carbamate Linkage  
AUTHOR(S): Misra, Arvind; Mishra, Satyendra; Misra, Krishna  
CORPORATE SOURCE: Department of Chemistry, Nucleic Acids Research Laboratory, University of Allahabad, Allahabad, 211 002, India  
SOURCE: Bioconjugate Chemistry (2004), 15(3), 638-646  
CODEN: BCCHES; ISSN: 1043-1802  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 141:23854

AB Synthesis of modified oligonucleotides in which the specific cytidine nucleoside analogs linked at 2'-OH position via a carbamate bond with an amino Et derivative of dansyl fluorophore is reported. For the multiple labeling of oligonucleotides, a strategy involving pre-labeling at the monomeric level followed by solid phase assembly of oligonucleotides to obtain regiospecifically labeled probes has been described. The labeled monomer was phosphitylated using 2-cyanoethyl-N,N,N',N'-tetra-isopropyl-phosphoramidite (Bis-reagent) and pyridinium-trifluoro acetate (Py-TFA) as an activator. To ascertain the minimal number of labeled monomers required for a specific length of oligonucleotide for detection and also to assess the effect of carbamate linkage on hybridization, hexamer and 20-mer sequences were selected. Both were labeled with 1, 2, and 3 monomers at the 5'-end and hybridized with normal (unmodified) complementary sequences. As compared to mid-sequence or 3'-terminal labeling reported earlier, the 5'-terminal labeling has been found to have minimal contact-mediated quenching on duplex formation. This may be due to complementary deoxyguanosine (dG) rich oligonucleotide sequences or CG base pairs at a terminus that is known to yield stronger binding. This is one reason for selecting cytidine for labeling. The results may aid rational design of multiple fluorescent DNA probes for nonradioactive detection of nucleic acids.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 12 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2004:120866 HCAPLUS  
DOCUMENT NUMBER: 140:164140  
TITLE: Solid phase synthesis of oligonucleotides via coupling, sulfuration, and detritylation reactions  
INVENTOR(S): Adamo, Ilaria; Dueymes, Cecile; Schoenberger, Andreas; Imbach, Jean-Louis; Meyer, Albert; Morvan, Francois; Debart, Francoise; Vasseur, Jean-Jacques; Lange, Meinolf; Link, Fritz  
PATENT ASSIGNEE(S): Girindus AG, Germany; Centre National De La Recherche Scientifique; University of Montpellier II; et al.  
SOURCE: PCT Int. Appl., 70 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013154	A1	20040212	WO 2003-EP8447	20030730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1386925	A1	20040204	EP 2002-17211	20020731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
AU 2003250196	A1	20040223	AU 2003-250196	20030730
EP 1525212	A1	20050427	EP 2003-766373	20030730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006089494	A1	20060427	US 2005-522854	20051108
PRIORITY APPLN. INFO.:			EP 2002-17211	A 20020731
			US 2002-399412P	P 20020731
			WO 2003-EP8447	W 20030730
OTHER SOURCE(S):			CASREACT 140:164140; MARPAT 140:164140	
GI				

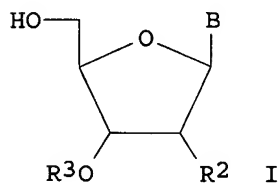


AB 9A method for preparing an oligonucleotide comprising the steps of (a) providing a 3-protected compound having the formula I wherein B is a heterocyclic base; R2 is H, a protected 2-hydroxyl group, F, a protected amino group, an O-alkyl group, an O-substituted alkyl, a substituted alkylamino or a C4'-O2' methylene linkage; R3 is protected hydroxy, protected amine, 3'-protected nucleotide, 3'-protected oligonucleotide (b) reacting said compound with a nucleotide derivative having a 5-protection group in the presence of a solid supported activator to give an elongated oligonucleotide with a P(III)-internucleotide bond (c) optionally processing the elongated oligonucleotide with a P(III)-internucleotide bond by either or both of steps (c1) and (c2) in any sequence (c1) capping preferably by reacting with a solid supported capping agent (c2) oxidizing preferably by reacting the oligonucleotide with a solid supported oxidizing reagent (d) removing the 5'-protection group. Thus, solid phase synthesis of 5'-OH-ABz-ABz-3'-O-Lev phosphorothiono-tri-ester via coupling, sulfuration, and detritylation reactions, is reported.

L40 ANSWER 13 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:97230 HCAPLUS  
 DOCUMENT NUMBER: 140:164141  
 TITLE: Method for solid phase preparation of oligonucleotides  
 PATENT ASSIGNEE(S): Girindus AG, Germany  
 SOURCE: Eur. Pat. Appl., 23 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1386925	A1	20040204	EP 2002-17211	20020731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
WO 2004013154	A1	20040212	WO 2003-EP8447	20030730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003250196	A1	20040223	AU 2003-250196	20030730
EP 1525212	A1	20050427	EP 2003-766373	20030730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006089494	A1	20060427	US 2005-522854	20051108
PRIORITY APPLN. INFO.:				
			EP 2002-17211	A 20020731
			US 2002-399412P	P 20020731
			WO 2003-EP8447	W 20030730
OTHER SOURCE(S): CASREACT 140:164141; MARPAT 140:164141				
GI				



AB A method for preparing an oligonucleotide comprising the steps of (a) providing a 3'-protected nucleoside I, wherein B is a heterocyclic base; R2 is H, protected 2'-hydroxyl group, F, protected amino group, O-alkyl group, O-substituted alkyl, substituted alkylamino or a C4'-O2'-methylene linkage R3 is a hydroxyl protecting group, 3'-protected nucleotide or 3'-protected oligonucleotide (b) reacting said compound with a nucleotide derivative having a 5'-protection group in the presence of a solid supported activator to give an elongated oligonucleotide with a P(III)-internucleotide bond (c) processing the elongated oligonucleotide with a P(III)-internucleotide bond by steps (c1) and (c2) in any sequence (c1) capping by reacting with a solid supported capping agent (c2) oxidizing by reacting the oligonucleotide with a solid supported oxidizing reagent (d) removing the 5'-protection group by treatment with a solid supported agent or removing the 5'-protection group with a removal agent followed by addition of a solid supported scavenger or followed by extraction Thus, dimer 5'-OH-dGiBu-dCBz-3'-O-TBDMS cyanoethyl phosphorothioate triester was prepared via coupling, sulfurization, and detritylation reactions.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 14 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:714485 HCAPLUS

DOCUMENT NUMBER: 140:271138

TITLE: Diastereomeric Process Control in the Synthesis of  
2'-O-(2-Methoxyethyl) Oligoribonucleotide  
Phosphorothioates as Antisense Drugs

AUTHOR(S): Ravikumar, Vasulinga T.; Cole, Douglas L.

CORPORATE SOURCE: Isis Pharmaceuticals, Carlsbad, CA, 92008, USA

SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2003),  
22(5-8), 1639-1645  
CODEN: NNNAFY; ISSN: 1525-7770

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Coupling of 2'-O-methoxyethyl-substituted nucleoside phosphoramidites to  
5'-hydroxyl group of a nucleoside or nucleotide on solid support is under  
stereochem. process control and is independent of scale, concentration,  
synthesizer, ratio of amidite diastereomers, solid support etc. However,  
activators and phosphate protecting groups do play a role in  
influencing the ratio of phosphorothioate diesters obtained by  
sulfurization of phosphite triesters.

L40 ANSWER 15 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:714432 HCAPLUS

DOCUMENT NUMBER: 140:287649

TITLE: Understanding High Diastereomeric Discrimination in  
Formation of Oligoribonucleotide Phosphorothioate  
Linkages: The First Study of pKa-Dependent Activation  
in Solid-Supported Coupling of 2'-O-Substituted  
Ribonucleoside Phosphoramidites

AUTHOR(S): Ravikumar, Vasulinga T.; Cole, Douglas L.

CORPORATE SOURCE: Isis Pharmaceuticals, Carlsbad, CA, 92009, USA

SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2003),  
22(5-8), 1415-1419  
CODEN: NNNAFY; ISSN: 1525-7770

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:287649

AB Activation of 2'-O-substituted ribonucleoside phosphoramidites with  
various activators during solid-supported synthesis of  
phosphorothioate oligonucleotides was studied. The Rp:Sp diastereomeric  
composition of resulting phosphorothioate linkage dependent on pKa of  
activator utilized for coupling.

L40 ANSWER 16 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:15507 HCAPLUS

DOCUMENT NUMBER: 138:90020

TITLE: Method for synthesis of nucleic acids

INVENTOR(S): Sekine, Mitsuo; Seio, Yasushi; Okubo, Akihiro

PATENT ASSIGNEE(S): Tokyo Institute of Technology, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

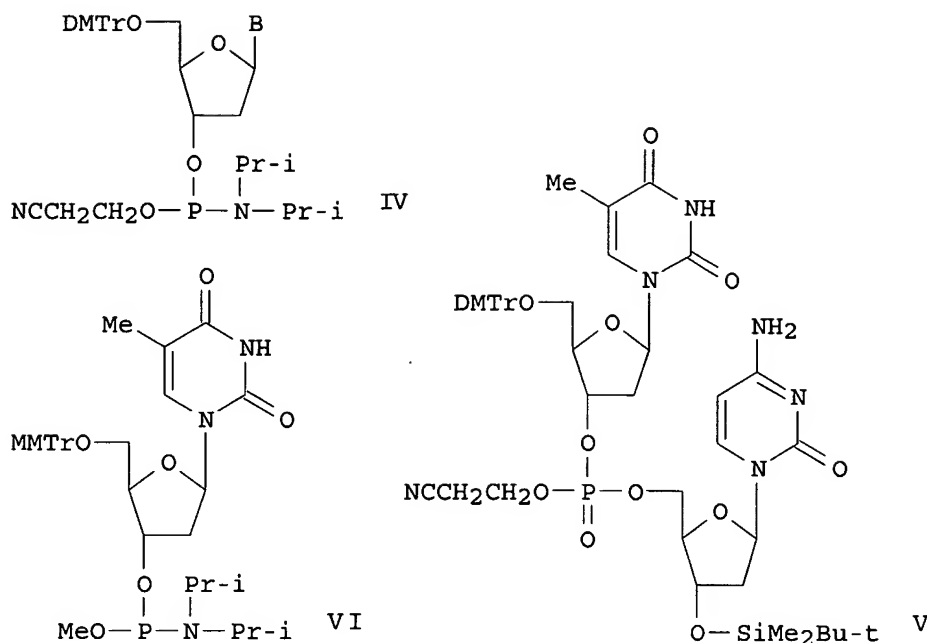
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003002895	A	20030108	JP 2001-162896	20010530
PRIORITY APPLN. INFO.:			JP 2001-162896	20010530
OTHER SOURCE(S):		CASREACT 138:90020		

GI



AB A method for preparation of nucleic acid without protecting nucleic acid bases comprises condensation reaction of nucleotides in the presence of a proton donor either in the liquid phase or the solid phase to form a phosphate ester bond. The proton donor has  $pK_a \leq 3.6$  and is selected from 4-nitrobenzimidazolium triflate (I), 4-nitro-6-trifluoromethylbenzotriazole-1-ol (II), and triazolium triflate (III). It protonates the nucleic acid base, which results in decreasing the reactivity of amino groups of nucleic acid bases and preventing the reaction of the amino groups. It also serves as an activating agent to form an active phosphoramidite intermediate in condensation of nucleoside phosphoramidites. This process shortens reaction step in the phosphoramidite method and enables rapid and precise synthesis of desired nucleic acids. Thus, thymidine phosphoramidite (IV; DMTR = 4,4'-dimethoxytrityl, B = thymine) and 2'-O-tert-butyl dimethylsilyl-2'-deoxycytidine were condensed in the presence of an activating agent I, II, or III in THF or MeCN at room temperature for 5 min, followed by oxidation with iodine in aqueous pyridine at room temperature for 5 min to give a TC dimer (V) in 94, 83, or 95% yield, resp. Various oligodeoxynucleotides such as AT, CT, AA, CC, GT, AAT, CCCT, GGGT, (CAA)<sub>3</sub>, and C6T were also prepared by the solid phase method using I and deoxynucleoside phosphoramidites IV (B = adenine, cytosine, guanine, thymine) and (VI; MMTr = 4-methoxytrityl).

L40 ANSWER 17 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

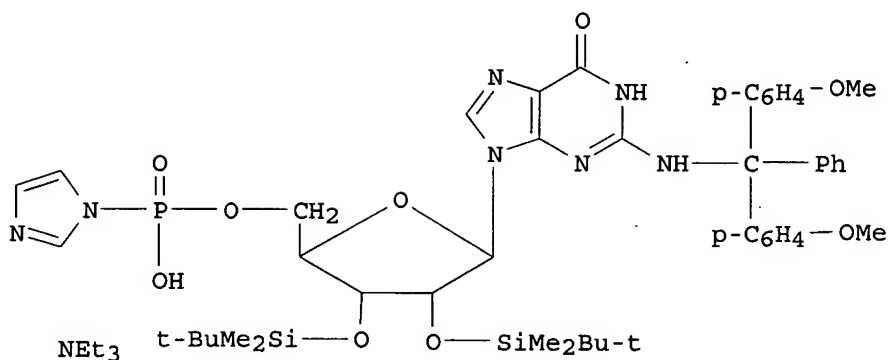
ACCESSION NUMBER: 2002:805642 HCAPLUS

DOCUMENT NUMBER: 138:170455

TITLE: Unique participation of unprotected internucleotidic phosphodiester residues on unexpected cleavage reaction of the Si - O bond of the diisopropylsilylandiyl group used as a linker for the solid-phase synthesis of 5'-terminal guanylated oligodeoxynucleotides

AUTHOR(S): Ushioda, Masatoshi; Kadokura, Michinori; Moriguchi, Tomohisa; Kobori, Akio; Aoyagi, Morihiro; Seio, Kohji; Sekine, Mitsuo

CORPORATE SOURCE: Department of Life Science, Tokyo Institute of Technology, Yokohama, 226-8501, Japan  
 SOURCE: Helvetica Chimica Acta (2002), 85(9), 2930-2945  
 CODEN: HCACAV; ISSN: 0018-019X  
 PUBLISHER: Verlag Helvetica Chimica Acta  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:170455  
 GI



AB In connection with the synthesis of guanosine-capped oligodeoxynucleotides on polymer supports, we found an unprecedented Si-O bond cleavage reaction, which occurred when polymer-linked oligodeoxynucleotides having unprotected internucleotidic phosphate groups were allowed to react with the guanosine 5'-phosphorimidazolidine derivative (I) in the presence of 4-nitro-6-(trifluoromethyl)-1H-benzotriazol-1-ol (Ntbt-OH) as an effective activator in pyridine. This side reaction was confirmed by the fact that the liquid-phase reaction of DMTrTpT-O-Si(iPr<sub>2</sub>)OEt with a simpler model compound, Me phosphorimidazolidine, in the presence of Ntbt-OH gave DMTrTpT. It turned out that the side reaction hardly occurs without unprotected internucleotidic phosphate groups on oligodeoxynucleotides. The detailed study of this side reaction disclosed that Ntbt-OH directly attacks the Si-atom to release oligonucleotides from the resin. It is likely that Ntbt-OH serves as a very strong nucleophile in pyridine, especially to the Si-atom of the linker.

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 18 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:607343 HCAPLUS  
 DOCUMENT NUMBER: 133:164273  
 TITLE: Activation of solid-phase supports for polynucleotide synthesis using microwave irradiation  
 INVENTOR(S): Seliger, Hartmut  
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany  
 SOURCE: Ger. Offen., 6 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10006138	A1	20000831	DE 2000-10006138	20000211
PRIORITY APPLN. INFO.:			DE 1999-19908009	A1 19990225

AB An improved procedure for the production of substrates for the nucleotide synthesis, which are loaded with nucleoside derivs., is revealed, whereby the loading with nucleoside derivs. takes place under microwave irradiation. The invention describes a method of loading a succinylated, protected nucleic acid onto the solid-phase support, using 1-(mesitylenesulfonyl)-3-nitro-1,2,4-triazole (MSNT) as activator and microwave irradiation to speed the loading reaction. Thus, several 3'-O-succinylated, N-protected, 5'-O-dimethoxytritylated nucleotides were individually loaded onto samples of, e.g., controlled pore glass (CPG), Merckogel, or Fractogel, which had been microwaved for 5 1-min periods, using MSNT and N-methylimidazole in pyridine as activators and solvent resp. The mixts. were further irradiated (1-3 times, for 1-2 min) to conduct the coupling reaction to the activated support. After washing and vacuum-drying, the loadings ranged from 35.6 (DMT-dAbz to CPG, 1 irradiation for 1 min) to 416.2  $\mu\text{mol/g}$  (DMT-dT to Merckogel, 3 irradiations for 2 min each).

L40 ANSWER 19 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:50899 HCAPLUS

DOCUMENT NUMBER: 132:293966

TITLE: Pyridinium Trifluoroacetate/N-Methylimidazole as an Efficient Activator for Oligonucleotide Synthesis via the Phosphoramidite Method

AUTHOR(S): Eleuteri, Alessandra; Capaldi, Daniel C.; Krotz, Achim H.; Cole, Douglas L.; Ravikumar, Vasulinga T.

CORPORATE SOURCE: Isis Pharmaceuticals, Carlsbad, CA, 92008, USA

SOURCE: Organic Process Research & Development (2000), 4(3), 182-189

CODEN: OPRDFK; ISSN: 1083-6160

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A new activator is reported for coupling phosphoramidites to a free 5'-hydroxyl group during oligonucleotide synthesis. Pyridinium trifluoroacetate/N-Me imidazole is a remarkably efficient replacement for 1H-tetrazole in the solid-supported synthesis of oligonucleotides. This reagent is safe and inexpensive, is not moisture-sensitive, and is soluble in acetonitrile.

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 20 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:723594 HCAPLUS

DOCUMENT NUMBER: 132:58720

TITLE: Potent, Orally Active GPIIb/IIIa Antagonists Containing a Nipecotic Acid Subunit. Structure-Activity Studies Leading to the Discovery of RWJ-53308

AUTHOR(S): Hoekstra, William J.; Maryanoff, Bruce E.; Damiano, Bruce P.; Andrade-Gordon, Patricia; Cohen, Judith H.; Costanzo, Michael J.; Haertlein, Barbara J.; Hecker, Leonard R.; Hulshizer, Becky L.; Kauffman, Jack A.; Keane, Patricia; McComsey, David F.; Mitchell, John A.; Scott, Lorraine; Shah, Rekha D.; Yabut, Stephen C.

CORPORATE SOURCE: Drug Discovery and New Product Research, The R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA

SOURCE: Journal of Medicinal Chemistry (1999), 42(25), 5254-5265

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 132:58720

AB Although i.v. administered antiplatelet fibrinogen receptor (GPIIb/IIIa) antagonists have become established in the acute-care clin. setting for the prevention of thrombosis, orally administered drugs for chronic use are still under development. Herein, the authors present details from the authors exploration of structure-activity surrounding the prototype fibrinogen receptor antagonist RWJ-50042, which was derived from a unique approach involving the  $\gamma$ -chain of fibrinogen (Hoekstra et al. J. Med. Chemical 1995, 38, 1582). The authors analog studies culminated in the discovery of RWJ-53308 (I), a potent, orally active GPIIb/IIIa antagonist. To progress from RWJ-50042 to a suitable candidate for clin. development, the authors conducted a series of optimization cycles that employed solid-phase parallel synthesis for the rapid, efficient preparation of nearly 250 analogs, which were assayed for fibrinogen receptor affinity and inhibition of platelet aggregation induced by four different activators. This strategy produced several promising analogs for advanced study, including the 3-(3,4-methylenedioxybenzene)- $\beta$ -amino acid analog (significant improved in vivo potency) and the 3-(3-pyridyl)- $\beta$ -amino acid I (significantly improved potency, oral absorption, and duration of action). In dogs, I displayed significant ex vivo antiplatelet activity on oral administration at 1.0 mg/kg, 16% systemic oral bioavailability, minimal metabolic transformation, and an excellent safety profile. Addnl., I was efficacious in three in vivo thrombosis models: canine arteriovenous (AV) shunt (0.01-0.1 mg/kg, iv), guinea pig photoactivation-induced injury (0.3-3 mg/kg, iv), and guinea pig ferric chloride-induced injury (0.3-1 mg/kg, iv). On the basis of its noteworthy preclin. data, I was selected for clin. evaluation.

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 21 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:597948 HCAPLUS

DOCUMENT NUMBER: 130:25304

TITLE: Recent aspects of the use of tetramethylfluoroformamidinium hexafluorophosphate (TFFH) as a convenient peptide coupling reagent

AUTHOR(S): Triolo, Salvatore A.; Ionescu, Dumitru; Wenschuh, Holger; Sole, Nuria A.; El-Faham, Ayman; Carpino, Louis A.; Kates, Steven A.

CORPORATE SOURCE: PerSeptive Biosystems Inc., Framingham, MA, 01701, USA  
SOURCE: Peptides 1996, Proceedings of the European Peptide Symposium, 24th, Edinburgh, Sept. 8-13, 1996 (1998), Meeting Date 1996, 839-840. Editor(s): Ramage, Robert; Epton, Roger. Mayflower Scientific: Kingswinford, UK.

CODEN: 66RCA5

DOCUMENT TYPE: Conference

LANGUAGE: English

AB Fmoc-amino acid fluorides are highly reactive coupling agents for both solution and solid phase peptide synthesis, especially for sterically hindered amino acids. Recently, the onium reagent, tetramethylfluoroformamidinium hexafluorophosphate (TFFH) Me<sub>2</sub>NCF<sub>3</sub>N<sup>+</sup>Me<sub>2</sub>.PF<sub>6</sub><sup>-</sup> has been shown to be a convenient reagent for the preparation of isolable acid fluorides. Because reaction conditions for the formation of acid fluorides via TFFH are compatible with the normal protocols for peptide synthesis, TFFH is suitable for use as a coupling reagent taking advantage of the exceptional properties of Fmoc-amino acid fluorides, without the need for their isolation. This report describes recent observations on the effect of base and solvent on the conversion to acid fluorides and examples of automated solid phase assembly of difficult peptides for which previously reported successful syntheses incorporated the preformed derivs. The sequences chosen illustrate the efficiency of TFFH coupling for sensitive amino acids such as arginine, histidine and asparagine, the acid fluorides of which are



known to be relatively unstable. Alamethicin is a naturally occurring 20-amino acid peptide which contains eight units of the highly hindered  $\alpha$ -aminoisobutyric acid (Aib) residue. The C-terminal acid analog, Ac-Aib-Pro-Aib-Ala-Aib-Ala-Gln-Aib-Val-Aib-Gly-Leu-Aib-Pro-Val-Aib-Aib-Glu-GlnPhe-OH was prepared successfully (crude product purity 90%) by automated synthesis on a PerSeptive continuous-flow 9050 synthesizer starting with Fmoc-Phe-PAC-PEG-PS using isolated acid fluorides and single 30-min couplings. A comparable result was obtained using identical conditions except that the acid fluorides were replaced by a 1:1 mixture of TFFH and Fmoc-amino acid. Similarly, products of excellent purity were obtained in the case of the syntheses of magainin I amide, H-Gly-Ile-Gly-Lys-Phe-Leu-His-Ser-Ala-Gly-Lys-Phe-Gly-Lys-Ala-Phe-Val-Gly-Glu-Ile-Met-Lys-Ser-NH<sub>2</sub> and an analog of human corticotropin-releasing factor (h-CRF), H-Ser-Glu-Glu-Glu-Pro-ProIle-Ser-Leu-Asp-Leu-Thr-Phe-His-Leu-Leu-Arg-Glu-Val-Leu-Phe-Met-Ala-Arg-AlaGlu-Gln-Leu-Ala-Gln-Gln-Ala-His-Ser-Asn-Arg-Lys-Leu-Met-Phe-Ile-Ile-NH<sub>2</sub>. Both the peptides, constructed on Fmoc-PAL-PEG-PS, used 15-min piperidine-DMF (1:4) for Na-Fmoc removal, 12-min Fmoc-amino acid preactivation and 30-min single couplings. A first model involved conversion of Fmoc-Aib-OH (1 equiv) to the acid fluoride upon treatment with TFFH (1 equiv) in the presence of various solvents and bases. In this case, optimal conditions were found with 2 equiv of DIEA in DMF. With CH<sub>2</sub>Cl<sub>2</sub>, large amts. of oxazolone accompanied the acid fluoride. For this solvent, increasing the concentration of base gave even less acid fluoride and among several pyridine bases which were examined (collidine, pyridine, 2,6-di-tert-butyl-4-methylpyridine, 2,6-di-tert-butyl-4-dimethylaminopyridine, 2,3,5,6-tetramethylpyridine) pyridine itself was most effective although not as efficient as DIEA in DMF. TFFH proved fully effective for the two amino acids (Arg and His) for which the preformed acid fluorides are not shelf-stable. The acid fluoride of Fmoc-Arg(Pbf)-OH was generated using 2 equiv of DIEA in DMF in less than 2 min and although cyclization to the corresponding lactam occurred slowly, significant amts. of acid fluoride remained after 60 min. In this case, collidine led to formation of the oxazolone within 2 min but conversion of the oxazolone to the acid fluoride required an addnl. 15 min. In CH<sub>2</sub>Cl<sub>2</sub>, cyclization to lactam occurred readily (30 min) regardless of the base used. Collidine proved to be the most efficient activator base in the case of Asn. The rapid two phase acid fluoride solution technique in which 1 equiv of Fmoc-amino acid fluoride and 1 equiv of amine in CH<sub>2</sub>Cl<sub>2</sub> are coupled in the presence of 5% aqueous Na<sub>2</sub>CO<sub>3</sub> is a simple, high yield method for the preparation of short peptide sequences [4].

Application of this methodol. to the Aib-Aib sequence via TFFH led to very poor coupling. IR examination showed that, under these conditions, Fmoc-Aib-OH was converted to the corresponding oxazolone which, as expected, underwent very slow coupling. To avoid this undesired side reaction, sep. preactivation of Fmoc-Aib-OH to authentic acid fluoride via 1 equiv of pyridine and 1 equiv of TFFH in CH<sub>2</sub>Cl<sub>2</sub> for 15 min, followed by addition of this solution to a solution of H-Aib-OMe.HCl in 5% aqueous Na<sub>2</sub>CO<sub>3</sub> gave the Aib-Aib peptide (Fmoc-Aib-Aib-OMe) in good yield (79%). In conclusion, TFFH is compatible with normal techniques for the solid phase and solution assembly of peptides by the acid fluoride technique. Optimization may depend on the nature of the amino acid residue and an appropriate choice of base and solvent.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 22 OF 22 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1992:604592 HCAPLUS  
 DOCUMENT NUMBER: 117:204592  
 TITLE: A new and potent 2-5A analog which does not require a 5'-polyphosphate to activate mouse L-cell RNase L  
 AUTHOR(S): Torrence, Paul F.; Brozda, Danuta; Alster, David K.; Pabuccuoglu, Aysun; Lesiak, Krystyna  
 CORPORATE SOURCE: Lab. Med. Chem., Natl. Inst. Diabetes Dig. Kidney Dis., Bethesda, MD, 20892, USA

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L3	8391	benzisothiazol\$	US-PGPUB; USPAT	NEAR	ON	2008/02/01 12:06
L4	9735	benzisothiazol\$	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2008/02/01 12:06
L5	0	benzisothiazol\$ NEAR20 activator	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2008/02/01 12:06
L6	1155	benzisothiazol\$ and activator	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2008/02/01 12:07
L7	690	benzisothiazol\$ and (solid phase)	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2008/02/01 12:07
L8	141	l6 and l7	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2008/02/01 12:07
L9	35	l8 and @ad<"20030425"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2008/02/01 12:07

## EAST Search History

L10	221	benzisothiazol\$ NEAR20 solid	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2008/02/01 12:09
L11	0	l10 and l9	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2008/02/01 12:09
L12	2	l10 and l7	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	NEAR	ON	2008/02/01 12:14

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S61	1	"6140493".pn.	US-PGPUB; USPAT	NEAR	ON	2008/01/31 15:33
S62	4	((PAUL) near2 (MCCORMAC)).INV.	US-PGPUB; USPAT	NEAR	ON	2008/01/31 15:57
S63	6	((PAUL) near2 (MCCORMAC)).INV.	EPO; JPO; DERWENT	NEAR	ON	2008/01/31 15:57
S64	1	("6140493").PN.	US-PGPUB; USPAT	NEAR	ON	2008/02/01 09:51